```
Connecting via Winsock to STN

Trying 3106016892...Open

Welcome to STN International!  Enter x:X
LOGINID:ssspta1626kas
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Sep 17  IMSworld Pharmaceutical Company Directory name change
                  to PHARMASEARCH
 NEWS  3  Oct 09  Korean abstracts now included in Derwent World Patents
                  Index
 NEWS  4  Oct 09  Number of Derwent World Patents Index updates increased
 NEWS  5  Oct 15  Calculated properties now in the REGISTRY/ZREGISTRY File
 NEWS  6  Oct 22  Over 1 million reactions added to CASREACT
 NEWS  7  Oct 22  DGENE GETSIM has been improved
 NEWS  8  Oct 29  AAASD no longer available
 NEWS  9  Nov 19  New Search Capabilities  USPATFULL and USPAT2
 NEWS 10  Nov 19  TOXCENTER(SM) - new toxicology file now available on STN
 NEWS 11  Nov 29  COPPERLIT now available on STN
 NEWS 12  Nov 29  DWPI revisions to NTIS and US Provisional Numbers
 NEWS 13  Nov 30  Files VETU and VETB to have open access
 NEWS 14  Dec 10  WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
 NEWS 15  Dec 10  DGENE BLAST Homology Search
 NEWS 16  Dec 17  WELDASEARCH now available on STN
 NEWS 17  Dec 17  STANDARDS now available on STN
 NEWS 18  Dec 17  New fields for DPCI
 NEWS 19  Dec 19  CAS Roles modified
 NEWS 20  Dec 19  1907-1946 data and page images added to CA and CAplus
 NEWS 21  Jan 25  BLAST(R) searching in REGISTRY available in STN on the Web
 NEWS 22  Jan 25  Searching with the P indicator for Preparations
 NEWS 23  Jan 29  FSTA has been reloaded and moves to weekly updates
 NEWS 24  Feb 01  DKILIT now produced by FIZ Karlsruhe and has a new update
                  frequency
 NEWS 25  Feb 19  Access via Tymnet and SprintNet Eliminated Effective 3/31/02
 NEWS 26  Mar 08  Gene Names now available in BIOSIS

 NEWS EXPRESS  February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *


Kamal Saeed
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FILE 'HOME' ENTERED AT 09:23:28 ON 19 MAR 2002

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.15       0.15

FILE 'REGISTRY' ENTERED AT 09:23:37 ON 19 MAR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES:   17 MAR 2002  HIGHEST RN 401569-84-4
DICTIONARY FILE UPDATES:  17 MAR 2002  HIGHEST RN 401569-84-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

The P indicator for Preparations was not generated for all of the
CAS Registry Numbers that were added to the H/Z/CA/CAplus files between
12/27/01 and 1/23/02.  Use of the P indicator in online and SDI searches
during this period, either directly appended to a CAS Registry Number
or by qualifying an L-number with /P, may have yielded incomplete results.
As of 1/23/02, the situation has been resolved.  Also, note that searches
conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files
incorporating CAS Registry Numbers with the P indicator between 12/27/01
and 1/23/02, are encouraged to re-run these strategies.  Contact the
CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698,
worldwide, or send an e-mail to help@cas.org for further assistance or to
receive a credit for any duplicate searches.

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=>
Uploading C:\Program Files\Stnexp\Queries\099226311.str

L1      STRUCTURE UPLOADED

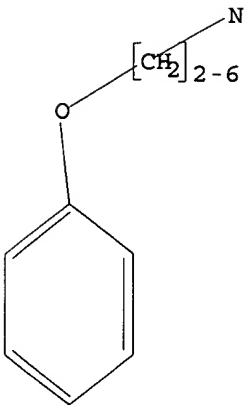
=> que L1

L2   QUE L1

=> d
L2 HAS NO ANSWERS


Kamal Saeed
```

L1 STR



Structure attributes must be viewed using STN Express query preparation.
L2 QUE ABB=ON PLU=ON L1

=> s l1
SAMPLE SEARCH INITIATED 09:24:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 22108 TO ITERATE

4.5% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 433292 TO 451028
PROJECTED ANSWERS: 86608 TO 94676

L3 50 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 09:24:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 441969 TO ITERATE

90.5% PROCESSED 400000 ITERATIONS 82006 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.13

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 441969 TO 441969
PROJECTED ANSWERS: 89709 TO 91511

L4 82006 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 140.86 141.01

FILE 'CAPLUS' ENTERED AT 09:25:16 ON 19 MAR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Kamal Saeed

FILE COVERS 1907 - 19 Mar 2002 VOL 136 ISS 12
FILE LAST UPDATED: 18 Mar 2002 (20020318/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

=> s l4
L5 17361 L4

=> ....Testing the current file.... screen

Your upload attempt failed, please try again

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.34	142.35

FILE 'REGISTRY' ENTERED AT 09:27:48 ON 19 MAR 2002
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STRUCTURE FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4
DICTIONARY FILE UPDATES: 17 MAR 2002 HIGHEST RN 401569-84-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Kamal Saeed

```
Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

The P indicator for Preparations was not generated for all of the
CAS Registry Numbers that were added to the H/Z/CA/CAplus files between
12/27/01 and 1/23/02.  Use of the P indicator in online and SDI searches
during this period, either directly appended to a CAS Registry Number
or by qualifying an L-number with /P, may have yielded incomplete results.
As of 1/23/02, the situation has been resolved.  Also, note that searches
conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files
incorporating CAS Registry Numbers with the P indicator between 12/27/01
and 1/23/02, are encouraged to re-run these strategies.  Contact the
CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698,
worldwide, or send an e-mail to help@cas.org for further assistance or to
receive a credit for any duplicate searches.

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=>
Uploading C:\Program Files\Stnexp\Queries\099226311.str

L6      STRUCTURE UPLOADED

=> que L6

L7   QUE L6

=> s l7
SAMPLE SEARCH INITIATED 09:28:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  22108 TO ITERATE

  4.5% PROCESSED    1000 ITERATIONS                                50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       433292 TO   451028
PROJECTED ANSWERS:           34131 TO    39267

L8           50 SEA SSS SAM L6

=> s l7 full
FULL SEARCH INITIATED 09:28:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 441969 TO ITERATE

 90.5% PROCESSED  400000 ITERATIONS                           30352 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.07

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       441969 TO   441969


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PROJECTED ANSWERS: 32987 TO 34085

L9 30352 SEA SSS FUL L6

=> file caplus
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 140.54 | 282.89

FILE 'CAPLUS' ENTERED AT 09:29:12 ON 19 MAR 2002
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FILE COVERS 1907 - 19 Mar 2002 VOL 136 ISS 12
FILE LAST UPDATED: 18 Mar 2002 (20020318/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

=> s l9
L10 5482 L9

=> d ibib hitstr abs 1-30

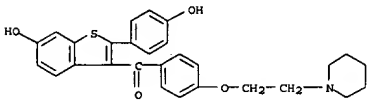
L10 ANSWER 1 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:157831 CAPLUS
TITLE: Human coactivator of nuclear receptors COASTER, protein and cDNA sequence, tissue distribution and uses in modulation of transcription activity
INVENTOR(S): Dechering, Koen Jacob; Mosselman, Sietse
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016426	A2	20020228	WO 2001-EP9499	20010816

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2000-202905 A 20000821
EP 2001-201771 A 20010514

IT INDEXING IN PROGRESS
IT **84449-90-1**, Raloxifene
RL: ANT (Analyte); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)
(as agonist on ER.alpha.; human coactivator of nuclear receptors COASTER, protein and cDNA sequence, tissue distribution and uses in modulation of transcription activity)
RN 84449-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



AB The invention provides a protein COASTER which is found to be a coactivator of nuclear receptor. The invention also relates to use of a said protein COASTER in an assay to identify nuclear receptor modulator, preferably a steroid receptor. The invention also relates to method of modulation of transcriptional activity promoted by a responsive nuclear receptor,preferably a steroid receptor and a coactivator COASTER. The invention demonstrates that amino acids 1 to 234 of said protein COASTER are sufficient for coactivating potential of COASTER on the raloxifene or 4OH-tamoxifen liganded ER.alpha.. The invention also demonstrates that raloxifene acts as an agonist on ERE-driven gene transcription in the presence of ER.alpha. and COASTER. The invention further demonstrates

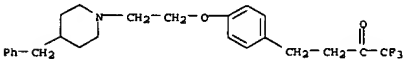
L10 ANSWER 1 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
that 4OH-tamoxifen acts as an agonist on the transcriptional activity of ER.alpha., not ER.beta..

L10 ANSWER 2 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:151555 CAPLUS
TITLE: Preparation of O-substituted 4-(4-hydroxyphenyl)-1,1,1-trifluoro-2-butanones as selective cPLA2 inhibitors
INVENTOR(S): Banville, Jacques; Gai, Yonghua; Johnson, Graham; Zusi, Fred Christopher; Burke, James R.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 112 pp., Cont.-in-part of U.S. 6,255,496.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6350892	B1	20020226	US 2000-507782	20000218
US 6255496	B1	20010703	US 1999-300111	19990427

PRIORITY APPLN. INFO.: US 1997-59597P P 19970923
US 1997-63518P P 19971027
US 1998-151002 B1 19980910
US 1999-300111 A2 19990427

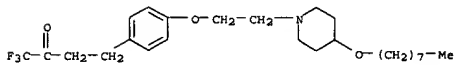
IT **221912-85-2P**, 2-Butanone, 1,1,1-trifluoro-4-[4-[2-[4-(phenylmethyl)-1-piperidinyl]ethoxy]phenyl]-, hydrochloride
221912-86-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of O-substituted 4-(4-hydroxyphenyl)-1,1,1-trifluoro-2-butanones as selective cPLA2 inhibitors)
RN 221912-85-2 CAPLUS
CN 2-Butanone, 1,1,1-trifluoro-4-[4-[2-[4-(phenylmethyl)-1-piperidinyl]ethoxy]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 221912-86-3 CAPLUS
CN 2-Butanone, 1,1,1-trifluoro-4-[4-[2-[4-(octyloxy)-1-piperidinyl]ethoxy]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



● HCl

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R5 = alk(en/yn)yl, alkoxy, alkylthio, halo, hydroxy, etc.; p = 0-2; V1 = O, S0-2, NHC:O, C:ONH; R3-4 = H, Me; R1-2 = when taken together form an oxo group or R1-2 = H, OH; Y1 = O, S0-2, aza, etc.] were prepd. E.g., 4-(3-hydroxypropyl)phenol was converted to Me [4-(3-methanesulfonyloxypropyl)phenoxy]acetate in 4 steps. This intermediate was reacted with N-methyl-2,2-[di(4-chlorophenyl)]ethylamine (CH3CN, NaI, 80.degree.C, 18 h) to give the corresponding tertiary amine. The amine was treated with trifluoromethyltrimethylsilane (PhMe, -55.degree.C) to give isolated acetal II. Hydrolysis of II (THF, HClaq) provided the example compd. trifluoromethylketone isolated as the hydrochloride. Compds. I, presented in examples, showed IC50 of 1-50 .mu.M against cPLA2.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

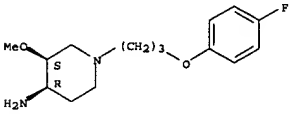
Kamal Saeed

L10 ANSWER 3 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:143805 CAPLUS
DOCUMENT NUMBER: 136:151075
TITLE: Process for preparing N-(4-piperidinyl)benzamide derivative and novel benzoic acid active thioester as an intermediate
INVENTOR(S): Yun, Seong Jun; Jeong, Yong Ho; Lee, Chi Uh; Oh, Yun Seok; Lim, Jae Kyeong; Kim, Ik Hoe; Kim, Dong Seok
PATENT ASSIGNEE(S): Yansen Korea Co., Ltd., S. Korea; Dong Wha Pharm. Ind. Co., Ltd.
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2000020793	A	20000415	KR 1998-39547	19980924

OTHER SOURCE(S): CASREACT 136:151075
IT **104860-26-6**, cis-4-Amino-3-methoxy-1-[3-(4-fluorophenoxy)propyl]piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for prepg. N-(4-piperidinyl)benzamide deriv. by amidation of benzoic acid active thioester and aminopiperidine deriv.)
RN 104860-26-6 CAPLUS
CN 4-Piperidinamine, 1-[3-(4-fluorophenoxy)propyl]-3-methoxy-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

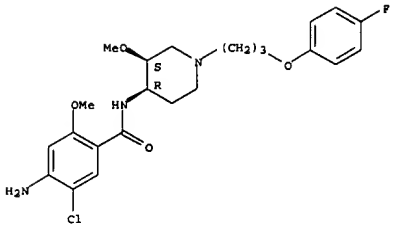
Relative stereochemistry.



IT **81098-60-4P**, cis-2-Methoxy-4-amino-5-chloro-N-[1-[3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinyl]benzamide
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for prepg. N-(4-piperidinyl)benzamide deriv. by amidation of benzoic acid active thioester and aminopiperidine deriv.)
RN 81098-60-4 CAPLUS
CN Benzamide, 4-amino-5-chloro-N-[1-[(3R,4S)-3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 3 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

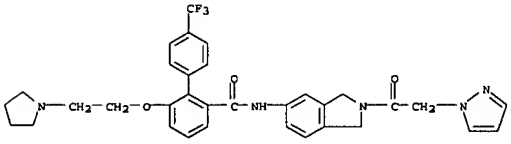


AB An N-(4-piperidinyl)benzamide is prepd. by the reaction of thioester and cis-piperidine amine derivs., which has gastroenteric stimulating activity. A carboxylic deriv. and alkyl chloroformate are reacted to give an intermediate, and reacted with 2-mercaptobenzimidazole to give the novel active thioester. The active thioester and cis-piperidine amine derivs. are condensed to give N-(4-piperidinyl)benzamide derivs. having a set of substituents including C1-6 lower alkyl and an alkyl or aryloxyalkyl. Thus, 376 mg of triethylamine and 280 mg of Et chloroformate were added to 500 mg 4-amino-5-chloro-2-methoxybenzoic acid and reacted at 0-10.degree. for 1.5 h, and treated with 396 mg 2-mercaptobenzimidazole at room temp. for 6 h to give an active thioester.
A mixed soln. of 630 mg of cis-4-amino-3-methoxy-1-[3-(4-fluorophenoxy)propyl]piperidine and 1 mL DMF was added to the thioester and allowed to react at room temp. for 5 h to give 963 mg of cis-2-methoxy-4-amino-5-chloro-N-[1-[3-(4-fluorophenoxy)propyl]-3-method-4-piperidinyl]benzamide monohydrate.

L10 ANSWER 4 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142672 CAPLUS
TITLE: Preparation of biphenylcarboxamidoisoindoline derivatives as apolipoprotein B secretion inhibitors
INVENTOR(S): Yamada, Harutami; Ando, Akira; Kawanishi, Hiroyuki; Nagata, Koichi; Yasuhara, Mikiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

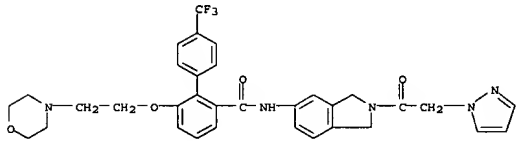
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014277	A1	20020221	WO 2001-JP6844	20010809
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2000-243004 A 20000810
JP 2001-172918 A 20010607
IT **400726-22-9P 400726-27-4P 400726-32-1P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)
RN 400726-22-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



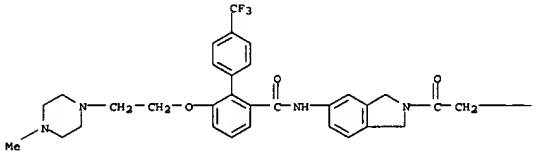
RN 400726-27-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L10 ANSWER 4 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 400726-32-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

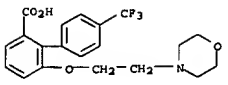
PAGE 1-A



PAGE 1-B

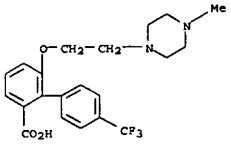
IT **400727-24-4P 400727-28-8P 400727-30-2P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)
RN 400727-24-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 6-[2-(4-morpholinyl)ethoxy]-4'-(trifluoromethyl)-, sodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 4 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



● Na

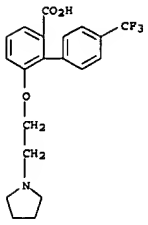
RN 400727-28-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 6-[2-(4-methyl-1-piperazinyl)ethoxy]-4'-(trifluoromethyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

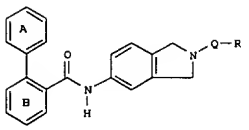
RN 400727-30-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 6-[2-(1-pyrrolidinyl)ethoxy]-4'-(trifluoromethyl)-, sodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 4 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



● Na

GI



I

AB The title compds. I [ring A is a substituted or unsubstituted benzene ring; ring B is a substituted or unsubstituted benzene ring; Q is CO or CH2; and R is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted carbamoyl, a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl, or the like], useful as apolipoprotein B secretion inhibitors (no data), are prepd. Processes for the prepn. of I are claimed. For example, 2-(2-pyridyl)acetyl-5-[2-(4-trifluoromethylphenyl)benzoylamino]isoindoline was prepd.
REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

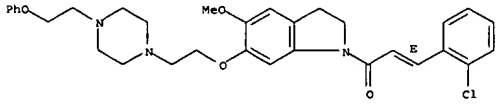
L10 ANSWER 5 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142668 CAPLUS
DOCUMENT NUMBER: 136:183704
TITLE: Indoline derivatives as 5-HT2C antagonists, useful as anxiolytics and antidepressants
INVENTOR(S): Bromidge, Steven Mark; Lovell, Peter John; Moss, Stephen Frederick; Serafinowska, Halina Teresa
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014273	A1	20020221	WO 2001-EP9273	20010809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

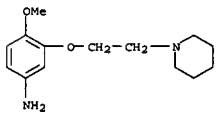
PRIORITY APPLN. INFO.: GB 2000-19950 A 20000812
IT **399579-32-9P**, (E)-3-(2-Chlorophenyl)-1-[5-methoxy-6-[2-[4-(2-phenoxyethyl)piperazin-1-yl]ethoxy]-2,3-dihydroindol-1-yl]prop-2-en-1-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of indoline derivs. as 5-HT2C antagonists)
RN 399579-32-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.

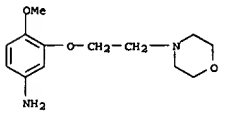


IT **170229-79-5P**, 4-Methoxy-3-(2-(piperidin-1-yl)ethoxy)phenylamine **170229-80-8P**, 4-Methoxy-3-(2-morpholinoethoxy)phenylamine **170229-91-1P**, 1-[2-(2-Methoxy-5-nitrophenoxy)ethyl]pyrrolidine **170229-92-2P**, 4-Methoxy-3-[2-(pyrrolidin-1-yl)ethoxy]phenylamine **399579-80-7P**, 1-[2-(2-Methoxy-5-nitrophenoxy)ethyl]piperidine **399579-81-8P**, 4-[2-(2-Methoxy-5-nitrophenoxy)ethyl]morpholine **399579-82-9P**, (2,2-Dimethoxyethyl)[4-methoxy-3-[2-(piperidin-1-yl)ethoxy]phenyl]amine **399579-83-0P**, (2,2-Dimethoxyethyl)[4-methoxy-3-[2-(pyrrolidin-1-yl)ethoxy]phenyl]amine **399579-84-1P**, (2,2-Dimethoxyethyl)[4-methoxy-3-(2-morpholinoethoxy)phenyl]amine **399580-22-4P**, 4-[2-(2-Methoxy-5-nitrophenoxy)ethyl]piperazine-1-carboxylic acid tert-butyl ester **399580-23-5P**,

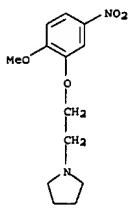
L10 ANSWER 5 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
4-[2-(5-Amino-2-methoxyphenoxy)ethyl]piperazine-1-carboxylic acid tert-butyl ester **399580-24-6P**, 4-[2-[5-(2,2-Dimethoxyethylamino)-2-methoxyphenoxy]ethyl]piperazine-1-carboxylic acid tert-butyl ester **399580-42-8P**, (2,2-Dimethoxyethyl)[4-fluoro-3-(2-(piperidin-1-yl)ethoxy)phenyl]amine **399580-43-9P**, N-(2,2-Dimethoxyethyl)-2,2,2-trifluoro-N-[4-fluoro-3-(2-(piperidin-1-yl)ethoxy)phenyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indoline derivs. as 5-HT2C antagonists)
RN 170229-79-5 CAPLUS
CN Benzenamine, 4-methoxy-3-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 170229-80-8 CAPLUS
CN Benzenamine, 4-methoxy-3-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

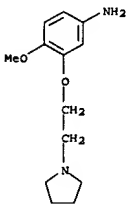


RN 170229-91-1 CAPLUS
CN Pyrrolidine, 1-[2-(2-methoxy-5-nitrophenoxy)ethyl]- (9CI) (CA INDEX NAME)

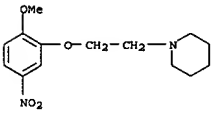


Kamal Saeed

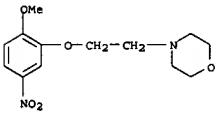
RN 170229-92-2 CAPLUS
CN Benzenamine, 4-methoxy-3-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



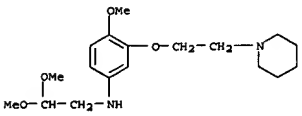
RN 399579-80-7 CAPLUS
CN Piperidine, 1-[2-(2-methoxy-5-nitrophenoxy)ethyl]- (9CI) (CA INDEX NAME)



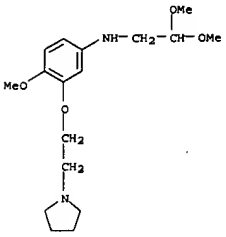
RN 399579-81-8 CAPLUS
CN Morpholine, 4-[2-(2-methoxy-5-nitrophenoxy)ethyl]- (9CI) (CA INDEX NAME)



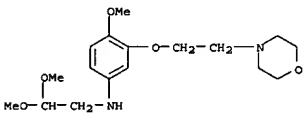
RN 399579-82-9 CAPLUS
CN Benzenamine, N-(2,2-dimethoxyethyl)-4-methoxy-3-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



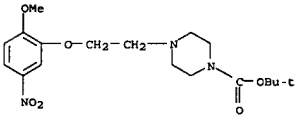
RN 399579-83-0 CAPLUS
CN Benzenamine, N-(2,2-dimethoxyethyl)-4-methoxy-3-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)



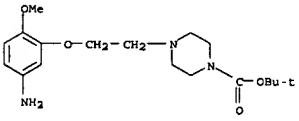
RN 399579-84-1 CAPLUS
CN Benzenamine, N-(2,2-dimethoxyethyl)-4-methoxy-3-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



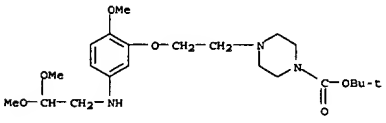
RN 399580-22-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-methoxy-5-nitrophenoxy)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



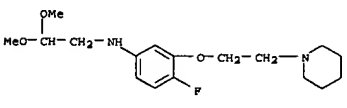
RN 399580-23-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(5-amino-2-methoxyphenoxy)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



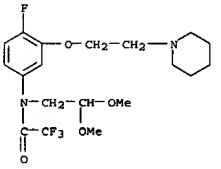
RN 399580-24-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-[5-[(2,2-dimethoxyethyl)amino]-2-methoxyphenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



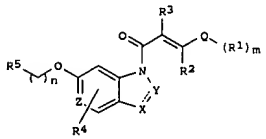
RN 399580-42-8 CAPLUS
CN Benzenamine, N-(2,2-dimethoxyethyl)-4-fluoro-3-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 399580-43-9 CAPLUS
CN Acetamide, N-(2,2-dimethoxyethyl)-2,2,2-trifluoro-N-[4-fluoro-3-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



GI



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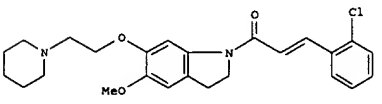


II

AB The invention relates to novel cinnamide compds., which have 5-HT2C antagonist activity, of formula I, or pharmaceutically acceptable salts thereof [in which: ring Q is Ph or naphthyl; R1 is halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, OH, (di)(C1-6alkyl)amino, NO2, CN, CF3, OCF3, aryl, arylC1-6alkyl, arylC1-6alkyloxy or arylC1-6alkylthio; m is 0-5; R2 and R3 are independently H or C1-6alkyl; R4 is H, halo, C1-6alkyl, C1-6alkoxy, aryl, cyano, haloC1-6alkyl or OCF3; Z is C or N; R5 is either:
(i) a group NR6R7 where R6 and R7 are independently H, (un)substituted C1-6alkyl; or (ii) (un)substituted N-linked heterocycle; or (iii) an (un)substituted C-linked heterocycle; n = 0-3, provided that n in not 0 when R5 is a group (i) or (ii); dashed line is an optional double bond, where X and Y are independently CR8R9 (when single bond) or CR10 (when double bond); wherein R8, R9 and R10 are independently H or C1-6alkyl]. Also disclosed are processes for prepn. of I, compns. contg. them, and their use in the treatment of CNS and other disorders. In particular, their use for treating anxiety and/or depression is claimed. A total of

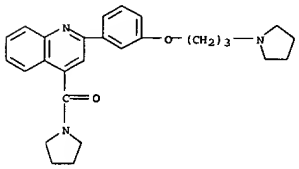
L10 ANSWER 5 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
171 examples and 73 intermediate prepns. are given. For instance, 2-methoxy-5-nitrophenol was etherified with 1-(2-chloroethyl)piperidine-HCl (70%), followed by hydrogenation of nitro to amino (100%), reductive alkylation of amino with (MeO)2CHCHO (88%), cyclization to form an indole (73%), redn. to give an indoline (72%), and N-coupling with 2-chlorocinnamic acid (40%), to give preferred (as HCl salt) invention compd. (E)-II. In a test for inhibition of[3H]-mesulergine binding at human 5-HT2C clones expressed in HEK 293 cells in vitro, I had pKi values in the range of 7.5-9.8.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 6 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142664 CAPLUS
DOCUMENT NUMBER: 136:183713
TITLE: Preparation of 2,4-substituted pyridine derivatives as
agonists, antagonists or inverse agonists for GABAA brain receptors or their prodrugs
INVENTOR(S): Cai, Guolin; Albaugh, Pamela; Yuan, Jun; Currie, Kevin
S.; Hutchison, Alan
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014269	A2	20020221	WO 2001-US41757	20010816

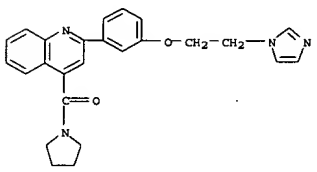
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-225552P P 20000816
IT **400016-65-1P**, 1-[[2-[3-(3-(N-Pyrrolidinyl)propoxy)phenyl]-4-quinolinyl]carbonyl]pyrrolidine **400016-68-4P**, 1-[[2-[3-(2-Imidazol-1-ylethoxy)phenyl]-4-quinolinyl]carbonyl]pyrrolidine
RL: ARG (Analytical reagent use); DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2,4-substituted pyridine derivs. as agonists, antagonists or
inverse agonists for GABAA brain receptors or prodrugs)
RN 400016-65-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

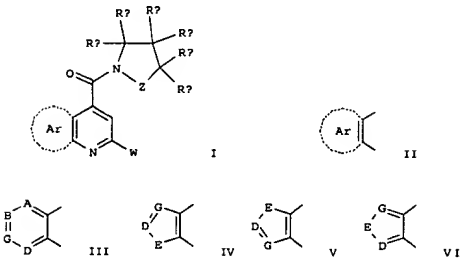


RN 400016-68-4 CAPLUS

L10 ANSWER 6 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN INDEX NAME NOT YET ASSIGNED



GI



AB Disclosed are compds. (I; (R)-1-[[2-(3,4-difluorophenyl)-4-quinolinyl]carbonyl]-2-hydroxymethylpyrrolidine (1)) or pharmaceutically acceptable salts thereof wherein: II represents III-VI; A, B, D and G are N or CR1; E = O, S, NR5; Z = (CRaRb)n (n = 0-2); and R1, R5, Ra, Rb, and W
are defined herein. These compds. are agonists, antagonists or inverse agonists for GABAA brain receptors or prodrugs of agonists, antagonists or
inverse agonists for GABAA brain receptors and are therefore useful in the
diagnosis and treatment of anxiety, depression, Down's syndrome, sleep and
seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory. Particularly preferred compds. have Ki values of <100 nM in a std. assay for GABAA binding affinity. Pharmaceutical compns., including packaged pharmaceutical compns., are further provided. 1 Are also useful

L10 ANSWER 6 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
as probes for the localization of GABAA receptors in tissue samples.
Only
the prepn. of 1 is included, but >100 other compds. are specifically mentioned. Intermediate 2-(3,4-difluorophenyl)-4-quinoline carboxylic acid was prepd. by heating a mixt. of 2,3-indolinedione (0.03 mol), 3',4'-difluoroacetophenone (0.03 mol) and KOH (0.05 mol) in 1,4-dioxane (50 mL) at 105.degree. for 48 h. The reaction soln. was then cooled to room temp. and concd. under reduced pressure. The residue was treated with EtOAc and extd. with H2O. The pH of the aq. layer was adjusted to 5-6 with 1N HCl, the resulting solid was collected by vacuum filtration, washed with H2O, and dried to give the intermediate (450 mg) as a yellow solid. A mixt. of the intermediate (100 mg), benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate (220 mg) and (R)-(-)-pyrrolidinemethanol (0.1 mL) in 1 mL of DMF was stirred at room temp. for 18 h. The mixt. was added to satd. aq. NaHCO3 soln. and extd. three times with EtOAc. The combined EtOAc layers were washed with brine and H2O, dried over Na2SO4, filtered and concd. to afford a foam. The foam contg. 1 was purified by preparative silica gel thin layer chromatog., using 10% MeOH in CH2Cl2 as the developing solvent.

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L10 ANSWER 7 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142506 CAPLUS
DOCUMENT NUMBER: 136:177977
TITLE: Methods for treating inflammatory diseases using PPAR agonists
INVENTOR(S): Pershadsingh, Harrihar A.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

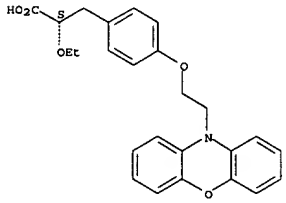
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002013812	A1	20020221	WO 2001-US25668	20010816

W: AU, CA, MX, NZ, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: US 2000-225907P P 20000817
US 2000-230509P P 20000906

IT **222834-30-2**, (-)-DRF 2725
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NNC 61-0029; methods for treating inflammatory diseases using PPAR agonists)
RN 222834-30-2 CAPLUS
CN Benzenepropanoic acid, .alpha.-ethoxy-4-[2-(10H-phenoxazin-10-yl)ethoxy]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AB The present invention describes methods for the use of PPAR ligands in the treatment inflammatory endocrine, dermatol., cardiovascular immunol., neurol., ophthalmic, neoplastic, pulmonary diseases, and age-related dysregulations. In addn., methods are provided for treating said conditions and diseases comprising the step of administering to a human or an animal in need thereof a therapeutic amt. of pharmacol. compns. comprising a pharmaceutically acceptable carrier, and a PPAR.gamma. agonist which cross-activates PPAR.alpha. or PPAR.delta. or both, or a PPAR.gamma. partial agonist, or a PPAR.gamma./RXR agonist, effective to reverse, slow, stop, or prevent the pathol. inflammatory or degenerative process.

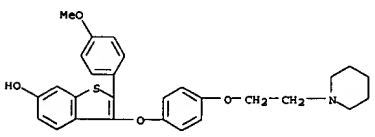
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:142496 CAPLUS
TITLE: Method using a rapamycin and an antiestrogen for treating estrogen receptor-positive carcinoma
INVENTOR(S): Zhang, Yixian; Sadler, Tammy Michelle; Frost, Philip; Greenberger, Lee Martin
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002013802	A2	20020221	WO 2001-US24615	20010806

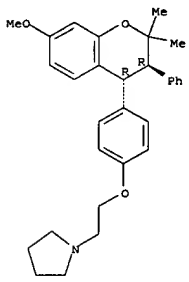
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-224326P P 20000811
IT **182133-25-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(LY 353381; rapamycin compd. and antiestrogen for treating estrogen receptor-pos. carcinoma)
RN 182133-25-1 CAPLUS
CN Benzo[b]thiophene-6-ol, 2-(4-methoxyphenyl)-3-[4-[2-(1-piperidinyl)ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

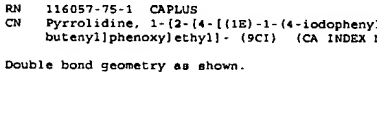


IT **78994-23-7**, Levormeloxifene **84449-90-1**, Raloxifene **116057-75-1**, Idoxifene **182167-03-9**, EM-800 **190791-29-8**, CP-336156 **198480-55-6** **198481-32-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapamycin compd. and antiestrogen for treating estrogen receptor-pos. carcinoma)
RN 78994-23-7 CAPLUS
CN Pyrrolidine, 1-[2-[4-[(3R,4R)-3,4-dihydro-7-methoxy-2,2-dimethyl-3-phenyl-2H-1-benzopyran-4-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 8 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
Absolute stereochemistry. Rotation (-).



RN 84449-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 116057-75-1 CAPLUS
CN Pyrrolidine, 1-[2-[4-[(1E)-1-(4-iodophenyl)-2-phenyl-1-butenyl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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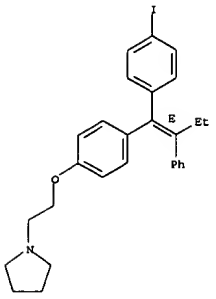
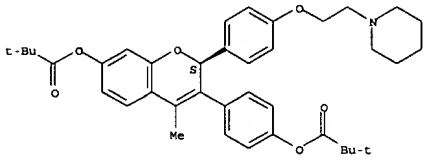
L10 ANSWER 8 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 182167-03-9 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-[(2S)-7-(2,2-dimethyl-1-oxopropoxy)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-2H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



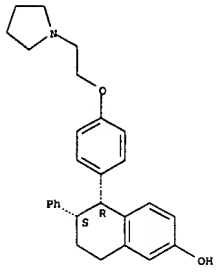
RN 190791-29-8 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-6-phenyl-5-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, (5R,6S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 180916-16-9
CMF C28 H31 N O2

Absolute stereochemistry. Rotation (-).

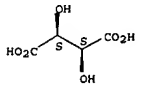
L10 ANSWER 8 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



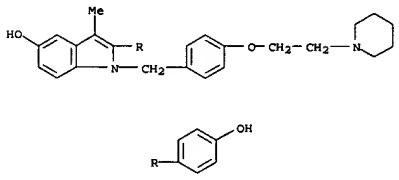
CM 2

CRN 147-71-7
CMF C4 H6 O6
CDES 1:S2:R*,R*

Absolute stereochemistry.

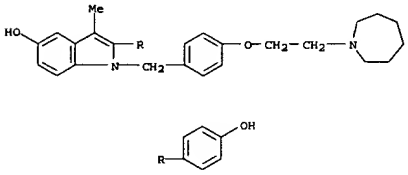


RN 198480-55-6 CAPLUS
CN 1H-Indol-5-ol, 2-(4-hydroxyphenyl)-3-methyl-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 198481-32-2 CAPLUS

L10 ANSWER 8 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 1H-Indol-5-ol, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



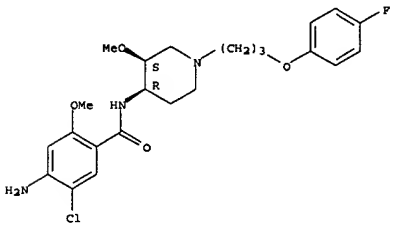
AB The invention provides a method of treating or inhibiting an estrogen receptor-pos. carcinoma in a mammal in need thereof, which comprises providing the mammal with an effective amt. of a combination of a rapamycin and an antiestrogen.

L10 ANSWER 9 OF 5482 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	2002:131009 CAPLUS
DOCUMENT NUMBER:	136:161277
TITLE:	The effect of cisapride on esophageal motility and lower sphincter function in patients with gastro-esophageal reflux disease
AUTHOR(S):	Finizia, Caterina; Lundell, Lars; Cange, Lars; Ruth, Magnus
CORPORATE SOURCE:	Departments of Otorhinolaryngology and Surgery, Sahlgrenska University Hospital, Goteborg, 413 45, Swed.
SOURCE:	European Journal of Gastroenterology & Hepatology (2002), 14(1), 9-14 CODEN: EJGHES; ISSN: 0954-691X
PUBLISHER:	Lippincott Williams & Wilkins
DOCUMENT TYPE:	Journal
LANGUAGE:	English

IT **81098-60-4**, Cisapride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of cisapride on esophageal motility and lower sphincter function in patients with gastro-esophageal reflux disease)
RN 81098-60-4 CAPLUS
CN Benzamide, 4-amino-5-chloro-N-[1-[(3R,4S)-3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



AB Aim of this study was to evaluate the efficiency by which the 5-HT4 agonist cisapride affects important motor functions involved in the control of gastro-esophageal reflux. Thirty patients with proven gastro-esophageal reflux disease (endoscopy and 24 h pH-metry) were included in a randomized, double-blind, placebo controlled study with a cross-over design. Cisapride, 20 mg b.i.d., during 4 wk was compared with placebo. At baseline, as well as after 4 and 8 wk all patients underwent symptom assessments, sleeve manometry with concomitant esophageal pH-monitoring and an acid clearance test. Despite adequate plasma levels cisapride had no significant effect on swallow induced peristaltic amplitude, duration, propagation speed, the elicitation of secondary peristalsis nor on acid clearance. Neither the basal tone of the lower esophageal sphincter nor the no. of transient lower esophageal sphincter

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L10 ANSWER 9 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
relaxations induced by gas distension of the stomach was affected by the administered dose of cisapride. Although cisapride has been alleged to improve symptoms as well as the esophagitis in patients with gastro-esophageal reflux disease, we found the compd. (20 mg b.i.d.) devoid of effects on important motor mechanisms involved in the pathogenesis of the disease.
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

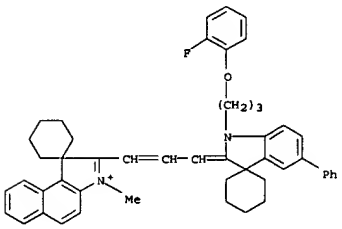
L10 ANSWER 10 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:126304 CAPLUS
DOCUMENT NUMBER: 136:191769
TITLE: Optical recording material using indocyanine dye
INVENTOR(S): Yano, Toru; Takahata, Yoshinori; Oya, Keiji
PATENT ASSIGNEE(S): Asahi Denka Kogyo K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002052829 A2		20020219	JP 2001-136366	20010507
PRIORITY APPLN. INFO.:			JP 2000-165004	20000601

IT **400622-70-0**
RL: TEM (Technical or engineered material use); USES (Uses)
(optical recording material using indocyanine dye)
RN 400622-70-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

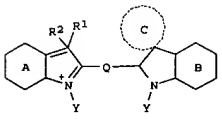
CRN 400622-69-7
CMF C49 H50 F N2 O



CM 2

CRN 14797-73-0
CMF Cl O4

L10 ANSWER 10 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
GI



I

AB The optical recording material contains an indocyanine dye I [A, B = (substituted) benzene or naphthalene ring; C = (substituted) 3- to 6-membered ring which may contain O, S, or N; R1-2 = C1-4 alkyl which may form a ring; Q = Q1-2; X = H, halo; Y = org. group; Anm- = m-valent anion;
m = 1, 2; p= no. to neutralize the mol]. The material is recordable by 620-830 nm laser beam and useful for DVDR and CDR.

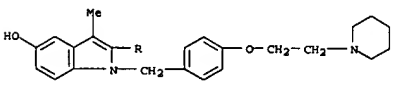
L10 ANSWER 11 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:123193 CAPLUS
DOCUMENT NUMBER: 136:179823
TITLE: Characterization, expression and sequence of human lysyl oxidase EER-7 and use of EER-7 for identifying estrogen receptor ligands
INVENTOR(S): Evans, Mark J.; Scicchitano, Marshall S.; Bapat, Ashok
R.; Beer, Eric; Bhat, Ramesh A.; Ferris, Elissa; Mastroeni, Robert; Zhang, Jianziong; Karathanasis, Sotirios K.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

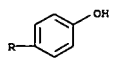
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012470	A2	20020214	WO 2001-US24942	20010808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-223763P P 20000808
US 2000-255838P P 20001215

IT **198480-55-6**
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(characterization, expression and sequence of human lysyl oxidase EER-7
and use of EER-7 for identifying estrogen receptor ligands)
RN 198480-55-6 CAPLUS
CN 1H-Indol-5-ol, 2-(4-hydroxyphenyl)-3-methyl-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)





AB The present invention relates to a novel human lysyl oxidase termed EER-7.

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L10 ANSWER 11 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

The invention relates to the protein and nucleic acids encoding the protein. The cDNA sequence and the encoded amino acid sequence of EER-7 are disclosed. Expression of EER-7 is regulated by estrogen. The nucleic acid sequence of EER-7 shows homol. to known lysyl oxidase genes. The invention further relates to an assay system to identify compds. that selectively modulate EER-7 protein activity by interaction with estrogen receptors.

L10 ANSWER 12 OF 5482 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:123169 CAPLUS
DOCUMENT NUMBER: 136:183807
TITLE: Heterocyclic annulated carboxylpyrroles as ligands for altering the signal transducing activity of GABAA receptors
INVENTOR(S): Maynard, George; Yohannes, Daniel; Yuan, Jun; Xie, Linghong; Ghosh, Manuka; Luke, George P.; Liu, Xiaojun; Nagel, Arthur Adam; Vincent, Lawrence Albert; Currie, Kevin S.; Wang, Zhe-Qing; Lee, Kyungae
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer, Inc.; et al.
SOURCE: PCT Int. Appl., 397 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

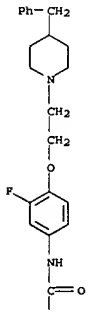
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012442	A2	20020214	WO 2001-US41572	20010806

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-223669P P 20000807
IT **398119-64-7P 398119-88-5P 398124-23-7P 398124-25-9P 398124-29-3P 398124-30-6P 398124-31-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compds.; prepn. of heterocyclic annulated carboxylpyrroles as GABAA receptor ligands via cyclocondensation reactions)
RN 398119-64-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L10 ANSWER 12 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



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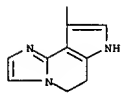
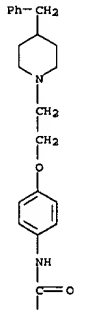


RN 398119-88-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L10 ANSWER 12 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



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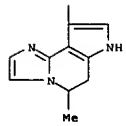
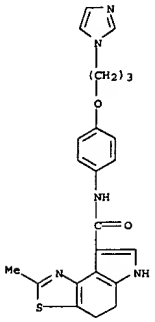


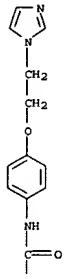
RN 398124-23-7 CAPLUS
CN 4H-Pyrrolo[3,2-e]benzothiazole-8-carboxamide, 5,6-dihydro-N-[4-[3-(1H-imidazol-1-yl)propoxy]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

Kamal Saeed



RN 398124-25-9 CAPLUS
CN 4H-Pyrrolo[3,2-e]benzothiazole-8-carboxamide, 5,6-dihydro-N-[4-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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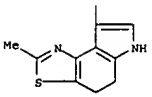
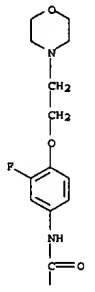


RN 398124-29-3 CAPLUS
CN 4H-Pyrrolo[3,2-e]benzothiazole-8-carboxamide, N-[3-fluoro-4-[2-(4-morpholinyl)ethoxy]phenyl]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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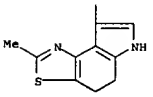

RN 398124-30-6 CAPLUS
CN 4H-Pyrrolo[3,2-e]benzothiazole-8-carboxamide, 5,6-dihydro-2-methyl-N-[4-[2-

[4-(phenylmethyl)-1-piperidinyl]ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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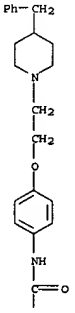
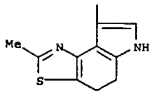
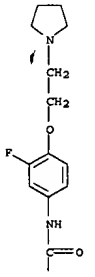


PAGE 2-A



RN 398124-31-7 CAPLUS
CN 4H-Pyrrolo[3,2-e]benzothiazole-8-carboxamide, N-[3-fluoro-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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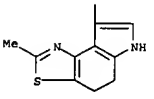

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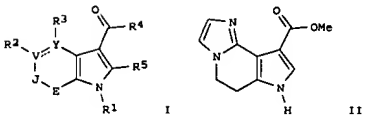

AB Title compds. I [R1 = H, OH, amino, alkylamino, alkyl or alkoxy; R2R3 together with the atom they are attached to form (un)substituted heterocyclic ring; R4 = GQ(CH2)nW(CH2)mZ {wherein G = O or NH; Q = (un)satd. carbocyclic or heterocyclic group where each group has from 1-3

L10 ANSWER 12 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
rings; W = bond, O, NH, S, etc.; Z = H, OH, hydroxyalkyl, alkoxy, amino, etc; n = 0, 1, 2 or 3 and m = 0, 1, 2 or 3}; R5 = R1, halo; Y = C or CH; V
= N, C, or CH; J = (CR6R7)d where d = 0 or 1 and R6 and R7 together form a
carbonyl group or R6 and R7 are independently H, NO2, CN, amino, aryl, etc.; E = (un)satd.-(un)substituted alkyl or heteroalkyl chain of 0-3 atoms] and the pharmaceutically acceptable salts thereof are prepd. and disclosed as ligands for GABAA receptors. Thus, II was prepd. in 10 steps
from p-nitrophenylethylamine with each heterocyclic ring being formed via cyclocondensation reactions. I are highly selective agonists, antagonists
or inverse agonists for GABAA brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAA brain receptor (no data). As agonists, antagonists or inverse agonists for GABAA brain receptors the compds. of the invention may be useful for treating anxiety, depression, sleep disorders, or Alzheimer's dementia.

L10 ANSWER 13 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:121007 CAPLUS
DOCUMENT NUMBER: 136:183816
TITLE: Combinatorial preparation of bicyclo pyrazoles as kinase inhibitors for treatment of cancer and other proliferative disorders
INVENTOR(S): Fancelli, Daniele; Pittala, Valeria; Varasi, Mario
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012242	A2	20020214	WO 2001-EP8639	20010725

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-635914 A 20000810
IT **398492-21-2P 398492-32-5P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(kinase inhibitor; combinatorial prepn. of bicyclo pyrazoles as kinase inhibitors for treatment of cancer and other proliferative disorders)
RN 398492-21-2 CAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-[1,4,5,6-tetrahydro-5-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]acetyl]pyrrolo[3,4-c]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

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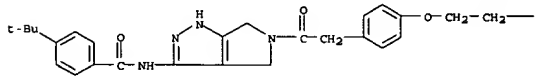

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RN 398492-32-5 CAPLUS
CN Benzamide, 4-phenoxy-N-[1,4,5,6-tetrahydro-5-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]acetyl]pyrrolo[3,4-c]pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

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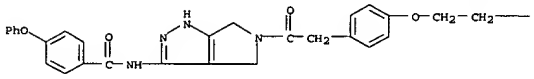

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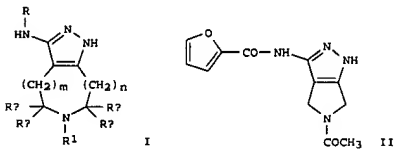


AB Title compds. I [wherein R and R1 = independently H or (un)substituted R',
COR', CONHR', CONR'R", NHC(:NH)NHR', C(:NH)NHR', SO2R', SO2NHR', or SO2NR'R"; R' and R" = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); or R'R" = alkylene chain; Ra, Rb, Rc, and Rd = independently H or (un)substituted alkyl, aryl(alkyl), or CH2OR'; or Ra and Rb and/or Rc
and Rd taken together with the C to which they are bonded = (un)substituted cycloalkyl; m and n = independently 0-2, provided that m + n .ltoreq. 2; and pharmaceutically acceptable salts thereof] were prepd., primarily by solid phase combinatorial methods, as protein kinase inhibitors (no data). For example, cycloaddn. of H2NNH2.bul.HCl to tert-Bu 3-cyano-4-oxo-1-pyrrolidinecarboxylate (prepn. given) afforded 3-amino-5-(tert-butoxycarbonyl)-4,6-dihydropyrrolo[3,4-c]pyrazole (31%). The pyrrolopyrazole was dissolved in anhyd. CH2Cl2 and linked to methylisocyanate polystyrene resin to give the polymer-bound urea. The resin-supported urea was partitioned into 96 batches and reacted with acyl
chlorides. A second partition of one of the lots, followed by reaction with carboxylic acids, sulfonyl chlorides, and isocyanates and hydrolytic cleavage from the resin, afforded combinatorial libraries of functionalized derivs., including II. I are useful for treating diseases linked to disregulated protein kinases, such as cancer, cell proliferative

L10 ANSWER 13 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
disorders, Alzheimer's disease, viral infections, autoimmune disease, and neurodegenerative disorders (no data).

Kamal Saeed

L10 ANSWER 14 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:123000 CAPLUS
DOCUMENT NUMBER: 136:183709
TITLE: Novel 1,4-dihydropyridines as bradykinin antagonists
INVENTOR(S): Ikeda, Takafumi; Kato, Tomoki; Katsu, Yasuhiro; Kawai, Makoto; Kawamura, Mitsuhiro; Shishido, Yuji; Murase, Noriaki
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

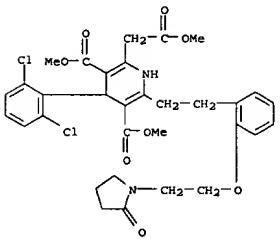
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012235	A1	20020214	WO 2001-IB1346	20010726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-224558P P 20000810

IT **398474-98-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of bradykinin antagonists 1,4-dihydropyridines via Hantzsch synthesis)
RN 398474-98-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L10 ANSWER 14 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 3,5-Pyridinedicarboxylic acid, 4-(2,6-dichlorophenyl)-1,4-dihydro-2-[2-methoxy-2-oxoethyl)-6-[2-[2-[2-(2-oxo-1-pyrrolidinyl)ethoxy]phenyl]ethyl]-, dimethyl ester (9CI) (CA INDEX NAME)

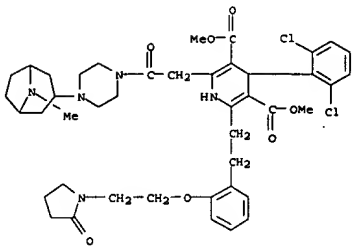


GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

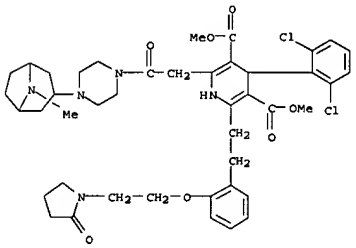
AB The title compds. I [wherein each A is independently halo; X = -(CH2)m-, -C(O)- or S(O)-; R1 and R2 are independently C1-4 alkyl; R3 is substituted azacycloalkyl etc.; R4 = ortho substituted Ph with substituents selected from substituted C1-7 alkyl, substituted C1-7 alkyl, substituted C1-7 alkoxy, amine, etc; R5 = hydrogen or C1-4 alkyl; m = 0, 1 or 2; and n = 0, 1, 2, 3, 4 or 5] are prepd. and disclosed as bradykinin antagonists. Thus, II was prepd. in seven steps via a modified Hantzsch synthesis involving the cyclocondensation of an intermediate benzylidene with an enamine to create the 1,4-dihydropyridine structural unit. The biol. activity of I was detd. by their ability to inhibit the binding of bradykinin at its receptor sites in recombinant human bradykinin B2 receptor expressing CHO-K1 cells (IC50 values for prepd. compds. ranged from 0.1 nM to 21 nM). The present invention also relates to pharmaceutical compns. contg. such compds. and to the use of such compds. in the treatment and prevention of inflammation, asthma, allergic rhinitis, pain and other disorders.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



●x HCl

IT **398474-78-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bradykinin antagonists 1,4-dihydropyridines via Hantzsch synthesis)
RN 398474-78-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT **398475-59-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bradykinin antagonists 1,4-dihydropyridines via Hantzsch synthesis)
RN 398475-59-7 CAPLUS

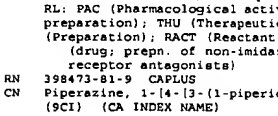
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:122980 CAPLUS
DOCUMENT NUMBER: 136:183708
TITLE: Preparation of non-imidazole aryloxyalkylamines as histamine H3 receptor antagonists
INVENTOR(S): Apodaca, Richard; Carruthers, Nicholas I.; Dvorak, Curt A.; Rudolph, Dale A.; Shah, Chandravadan R.; Xiao, Wei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012214	A2	20020214	WO 2001-US24655	20010806

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-223768P P 20000808
US 2001-922631 A 20010806

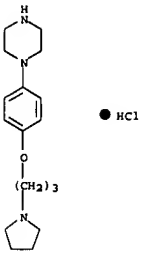
IT **398473-81-9P**, 1-[4-(3-(Piperidin-1-yl)propoxy)phenyl]piperazine hydrochloride **398473-83-1P** **398473-99-9P**, 1-[3-(4-Benzyloxyphenoxy)propyl]piperidine **398474-00-5P**, 4-[4-(3-(Pyrrolidin-1-yl)propoxy)phenyl]piperazine-1-carboxylic acid tert-butyl ester **398474-01-6P**, 4-[4-(3-(Piperidin-1-yl)propoxy)phenyl]piperazine-1-carboxylic acid tert-butyl ester **398474-04-9P**, 1-[3-[4-(1H-Pyrrol-2-yl)phenoxy]propyl]piperidine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; prepn. of non-imidazole aryloxyalkylamines as histamine-H3 receptor antagonists)
RN 398473-81-9 CAPLUS
CN Piperazine, 1-[4-[3-(1-piperidinyl)propoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

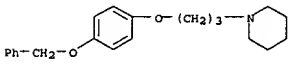
RN 398473-83-1 CAPLUS

CN Piperazine, 1-[4-[3-(1-pyrrolidinyl)propoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



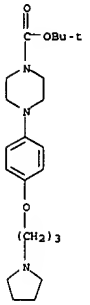
RN 398473-99-9 CAPLUS

CN Piperidine, 1-[3-[4-(phenylmethoxy)phenoxy]propyl]- (9CI) (CA INDEX NAME)



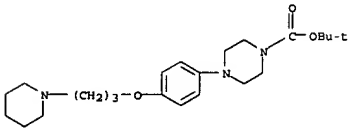
RN 398474-00-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[3-(1-pyrrolidinyl)propoxy]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



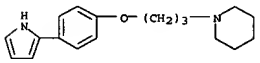
RN 398474-01-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[3-(1-piperidinyl)propoxy]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 398474-04-9 CAPLUS

CN Piperidine, 1-[3-[4-(1H-pyrrol-2-yl)phenoxy]propyl]- (9CI) (CA INDEX NAME)



IT **398473-15-9P**, Methyl[4-(3-(piperidin-1-yl)propoxy)benzyl](2-pyridin-2-ylethyl)amine **398473-16-0P**, Benzyl methyl[4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-17-1P**, Methyl(1-methylpiperidin-4-yl)[4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-18-2P**, Ethyl [4-(3-(piperidin-1-yl)propoxy)benzyl][pyridin-4-yl]methyl amine **398473-19-3P**, [2-(3,4-Dimethoxyphenyl)ethyl] methyl [4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-20-6P**, Methyl phenethyl [4-(3-(piperidin-1-

yl)propoxy)benzyl]amine **398473-21-7P**, Dimethyl[4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-22-8P**, Dimethyl[2-[4-(3-(piperidin-1-yl)propoxy)phenoxy]ethyl]amine **398473-23-9P**, Methyl phenethyl [3-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-24-0P**, Dibenzyl [3-[2-[4-(3-(piperidin-1-yl)propoxy)phenyl]pyrrol-1-yl]propyl]amine **398473-25-1P**, [Indan-1-yl][4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-26-2P**, Cyclohexyl[4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-27-3P**, Cyclopropyl[4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-28-4P**, Pyridin-2-yl [4-(3-(pyrrolidin-1-yl)propoxy)benzyl]amine **398473-29-5P**, [4-(3-(Piperidin-1-yl)propoxy)benzyl] [pyridin-2-yl]amine **398473-30-8P**, Phenyl[4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-31-9P**, [3-(3-(Piperidin-1-yl)propoxy)benzyl][pyridin-2-yl]amine **398473-32-0P**, (4-Chlorophenyl)[4-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-33-1P**, 4-[3-(3-((Piperidin-1-yl)methyl)phenoxy)propyl]morpholine **398473-34-2P**, 1-[3-(4-((Piperidin-1-yl)methyl)phenoxy)propyl]piperidine **398473-35-3P**, Benzyl methyl[1-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperidin-4-yl]amine **398473-36-4P** **398473-37-5P**, 1-[3-[4-[5-((3-(Piperidin-1-yl)propyl)sulfanyl)tetrazol-1-yl]phenoxy]propyl]piperidine **398473-38-6P**, 1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]piperidin-4-ol **398473-39-7P**, 4-[4-(3-(Piperidin-1-yl)propoxy)benzyl]morpholine **398473-40-0P**, 2-[4-(3-(Piperidin-1-yl)propoxy)benzyl]-1,2,3,4-tetrahydroisoquinoline **398473-41-1P**,

(1-(4-(3-(Piperidin-1-yl)propoxy)benzyl)piperidin-4-yl)(pyridin-2-yl)amine **398473-42-2P**, 1-Benzyl-4-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperazine **398473-43-3P**, 8-[4-(3-(Piperidin-1-yl)propoxy)benzyl]-1,4-dioxa-8-azaspiro[4.5]decane **398473-44-4P**, 1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]piperidine-4-carboxylic acid amide **398473-45-5P**, 4-Phenyl-1-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperidin-4-ol **398473-46-6P**, 1-Phenyl-4-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperazine **398473-47-7P**, Methyl phenethyl[1-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperidin-4-yl]amine **398473-48-8P**, 2-Methyl-1-(3-(4-((piperidin-1-yl)methyl)phenoxy)propyl)piperidine **398473-49-9P**, [1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]piperidin-4-yl] pyridin-2-yl (2-(pyrrolidin-1-yl)ethyl)amine **398473-50-2P**, 2-[1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]piperidin-4-yl]ethanol **398473-51-3P**, 1-[3-(4-(Pyrrolidin-1-yl)methylphenoxy)propyl]piperidine **398473-52-4P**, 1-[3-[4-(4-Benzylidenepiperidin-1-ylmethyl)phenoxy]propyl]piperidine **398473-53-5P**, 1-(3-(4-((4-Benzylpiperidin-1-yl)methyl)phenoxy)propyl)piperidine **398473-54-6P**, 2-(4-Chlorophenyl)-5-[4-(3-(piperidin-1-yl)propoxy)benzyl]-2,5-diazabicyclo[2.2.1]heptane **398473-55-7P**, 1-(3-((2'-((Piperidin-1-yl)methyl)biphenyl-4-yl)oxy)propyl)piperidine **398473-56-8P**, 1-[1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]piperidin-4-yl]-1,3-dihydrobenzimidazol-2-one **398473-57-9P**, 1-(3-[4-[1-(3-(Piperidin-1-yl)propyl)-1H-pyrrol-2-yl]phenoxy]propyl]piperidine **398473-58-0P**, 1-(3-Phenylpropen-2-yl)-4-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperazine **398473-59-1P**,

1-(3-(3-((4-(Benzylidene)piperidin-1-yl)methyl)phenoxy)propyl)piperidine **398473-60-4P**, 4-(4-Chlorophenyl)-1-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperidin-4-ol **398473-61-5P**, 1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]-4-(3-phenylpropyl)piperidine **398473-62-6P**, 1-[1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]piperidin-4-yl]-1H-benzimidazole **398473-63-7P** **398473-64-8P**,

1-[1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]piperidin-4-yl]-2,3-dihydro-1H-indole **398473-65-9P**, 1-Isopropyl-4-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperazine **398473-66-0P**, 1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]azacyclotridecane **398473-67-1P**, 1-Methyl-4-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperazine **398473-68-2P**, 5-Bromo-1-[1-[4-(3-(piperidin-1-yl)propoxy)benzyl]piperidin-4-yl]-2,3-dihydro-1H-indole **398473-69-3P**, 2-[1-[3-(4-((Piperidin-1-yl)methyl)phenoxy)propyl]piperidin-2-yl]ethanol **398473-70-6P**, 4-[3-(4-((Piperidin-1-yl)methyl)phenoxy)propyl]morpholine **398473-71-7P**, 2-[4-(2-(Piperidin-1-yl)ethoxy)benzyl]-1,2,3,4-tetrahydroisoquinoline **398473-72-8P**, 1-[4-(3-(Piperidin-1-yl)propoxy)benzyl]-1,2,3,4-tetrahydroquinoline **398473-73-9P**, 1-[2-(4-((Piperidin-1-yl)methyl)phenoxy)ethyl]piperidine **398473-75-1P**, 5-(3-(Piperidin-1-yl)propoxy)-2-[4-(3-(piperidin-1-yl)propoxy)phenyl]pyrimidine **398473-76-2P**, 1-Methyl-4-[3-(4-((piperidin-1-yl)methyl)phenoxy)propyl]piperazine **398473-77-3P**, 1-[4-(2-(Piperidin-1-yl)ethoxy)benzyl]-1,2,3,4-tetrahydroquinoline **398473-78-4P**, (4-Chlorophenyl)[3-(3-(piperidin-1-yl)propoxy)benzyl]amine **398473-79-5P**, 1-Benzyl-4-[4-(3-(piperidin-1-yl)propoxy)phenyl]piperidin-4-ol **398473-80-8P**, 1-Isopropyl-4-[4-(3-(piperidin-1-yl)propoxy)phenyl]piperazine **398473-82-0P**, 1-Benzyl-4-[4-(3-(pyrrolidin-1-yl)propoxy)phenyl]piperazine **398473-84-2P**, 1-[4-(3-(Piperidin-1-yl)propoxy)phenyl]piperazine **398473-85-3P**, 1-[4-(3-(Pyrrolidin-1-yl)propoxy)phenyl]piperazine **398473-86-4P**, 1-[3-[2'-(1-Isopropylpiperidin-4-yl)biphenyl-4-yloxy]propyl]piperidine **398473-87-5P**, 1-[3-[4-[2-(1-Methylpyrrolidin-2-yl)ethyl]phenoxy]propyl]piperidine **398473-88-6P**, 1-(3-(4-((1-Isopropylpiperidin-4-yl)methyl)phenoxy)propyl)piperidine **398473-89-7P**, 1-[3-[4-(1-Methylpyrrolidin-2-yl)phenoxy]propyl]piperidine **398473-90-0P**, 1-Isopropyl-4-[4-(3-(piperidin-1-yl)propoxy)phenyl]piperidin-4-ol **398473-91-1P**,

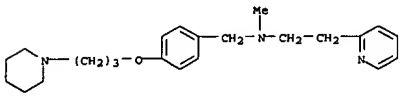
[3-(Furan-2-yl)-3-[4-(3-(piperidin-1-yl)propoxy)phenyl]propyl]dimethylamine **398473-92-2P**, 4-[3-[4-(3-(Piperidin-1-yl)propoxy)phenyl]-3-(pyrimidin-2-yl)propyl]morpholine **398473-93-3P**,

4-[4,4,4-Trifluoro-3-[4-(3-(piperidin-1-yl)propoxy)phenyl]butyl]morpholine **398473-94-4P**, (2-(Morpholin-4-yl)ethyl) [4-(3-(piperidin-1-yl)propoxy)phenyl] (pyridin-2-yl)amine **398473-95-5P**,

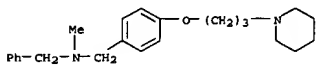
Isopropyl(2-morpholin-4-ylethyl)[4-(3-(piperidin-1-yl)propoxy)phenyl]amine **398473-96-6P**, (2-(Morpholin-4-yl)ethyl)[4-(3-(piperidin-1-yl)propoxy)phenyl]((thiazol-2-yl)methyl)amine **398474-05-0P**, 4-[3-[3-((Piperidin-1-yl)methyl)phenoxy)propyl]morpholine dihydrochloride **398474-06-1P**, 1-[3-[4-(2-(Piperidin-1-yl)ethoxy)phenoxy]propyl]piperidine **398474-07-2P**, 1-[3-[4-(3-(Piperidin-1-yl)propoxy)phenoxy]propyl]piperidine **398474-12-9P**, [2-(3-(Piperidin-1-yl)propoxy)benzyl](pyridin-2-yl)amine **398474-13-0P**, (4-Chlorophenyl)[2-(3-(piperidin-1-yl)propoxy)benzyl]amine **398474-14-1P**, 1-[3-[2-((4-(Benzylidene)piperidin-1-yl)methyl)phenoxy]propyl]piperidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of non-imidazole aryloxyalkylamines as histamine-H3 receptor antagonists)

RN 398473-15-9 CAPLUS

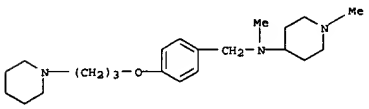
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 2-Pyridineethanamine,
N-methyl-N-[[4-[3-(1-piperidinyl)propoxy]phenyl]meth
yl]- (9CI) (CA INDEX NAME)



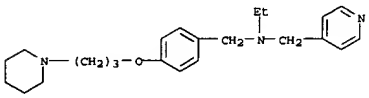
RN 398473-16-0 CAPLUS
CN Benzenemethanamine,
N-methyl-N-(phenylmethyl)-4-[3-(1-piperidinyl)propoxy]-
(9CI) (CA INDEX NAME)



RN 398473-17-1 CAPLUS
CN 4-Piperidinamine,
N,1-dimethyl-N-[[4-[3-(1-piperidinyl)propoxy]phenyl]meth
yl]- (9CI) (CA INDEX NAME)

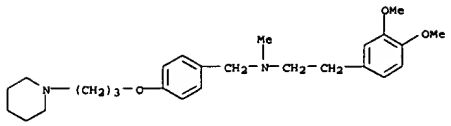


RN 398473-18-2 CAPLUS
CN 4-Pyridinemethanamine,
N-ethyl-N-[[4-[3-(1-piperidinyl)propoxy]phenyl]meth
yl]- (9CI) (CA INDEX NAME)

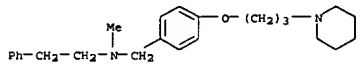


RN 398473-19-3 CAPLUS
CN Benzeneethanamine, 3,4-dimethoxy-N-methyl-N-[[4-[3-(1-
piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

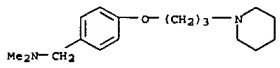
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



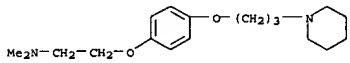
RN 398473-20-6 CAPLUS
CN Benzeneethanamine,
N-methyl-N-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-
(9CI) (CA INDEX NAME)



RN 398473-21-7 CAPLUS
CN Benzenemethanamine, N,N-dimethyl-4-[3-(1-piperidinyl)propoxy]- (9CI) (CA
INDEX NAME)

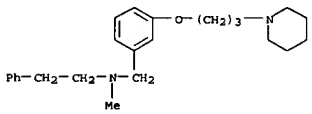


RN 398473-22-8 CAPLUS
CN Ethanamine, N,N-dimethyl-2-[4-[3-(1-piperidinyl)propoxy]phenoxy]- (9CI)
(CA INDEX NAME)

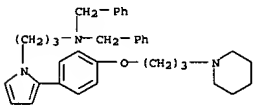


RN 398473-23-9 CAPLUS
CN Benzeneethanamine,
N-methyl-N-[[3-[3-(1-piperidinyl)propoxy]phenyl]methyl]-
(9CI) (CA INDEX NAME)

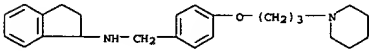
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



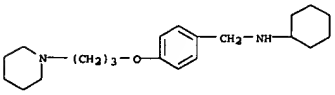
RN 398473-24-0 CAPLUS
CN 1H-Pyrrole-1-propanamine, N,N-bis(phenylmethyl)-2-[4-[3-(1-
piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 398473-25-1 CAPLUS
CN 1H-Inden-1-amine,
2,3-dihydro-N-[[4-[3-(1-piperidinyl)propoxy]phenyl]methy
l]- (9CI) (CA INDEX NAME)

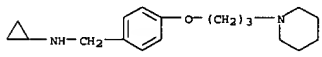


RN 398473-26-2 CAPLUS
CN Benzenemethanamine, N-cyclohexyl-4-[3-(1-piperidinyl)propoxy]- (9CI) (CA
INDEX NAME)

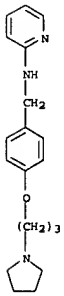


RN 398473-27-3 CAPLUS
CN Benzenemethanamine, N-cyclopropyl-4-[3-(1-piperidinyl)propoxy]- (9CI)
(CA
INDEX NAME)

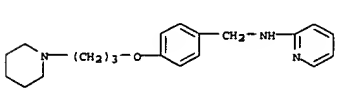
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



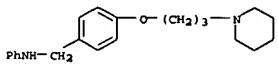
RN 398473-28-4 CAPLUS
CN 2-Pyridinamine, N-[[4-[3-(1-pyrrolidinyl)propoxy]phenyl]methyl]- (9CI)
(CA INDEX NAME)



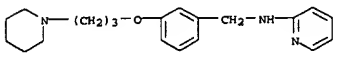
RN 398473-29-5 CAPLUS
CN 2-Pyridinamine, N-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI)
(CA
INDEX NAME)



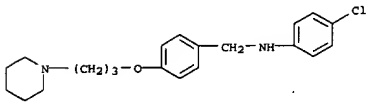
RN 398473-30-8 CAPLUS
CN Benzenemethanamine, N-phenyl-4-[3-(1-piperidinyl)propoxy]- (9CI) (CA
INDEX NAME)



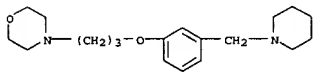
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 398473-31-9 CAPLUS
CN 2-Pyridinamine, N-[[3-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA
INDEX NAME)



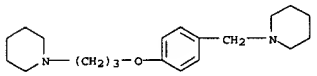
RN 398473-32-0 CAPLUS
CN Benzenemethanamine, N-(4-chlorophenyl)-4-[3-(1-piperidinyl)propoxy]- (9CI)
(CA INDEX NAME)



RN 398473-33-1 CAPLUS
CN Morpholine, 4-[3-[3-(1-piperidinylmethyl)phenoxy]propyl]- (9CI) (CA INDEX
NAME)

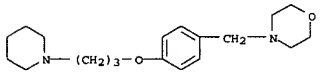


RN 398473-34-2 CAPLUS
CN Piperidine, 1-[3-[4-(1-piperidinylmethyl)phenoxy]propyl]- (9CI) (CA INDEX
NAME)

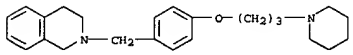


RN 398473-35-3 CAPLUS
CN 4-Piperidinamine, N-methyl-N-(phenylmethyl)-1-[[4-[3-(1-
piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

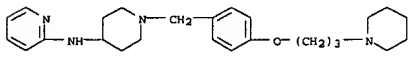
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Morpholine, 4-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA
INDEX NAME)



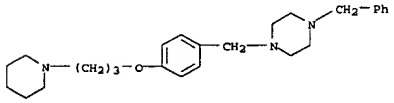
RN 398473-40-0 CAPLUS
CN Isoquinoline,
1,2,3,4-tetrahydro-2-[[4-[3-(1-piperidinyl)propoxy]phenyl]me
thyl]- (9CI) (CA INDEX NAME)



RN 398473-41-1 CAPLUS
CN 2-Pyridinamine, N-[1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-4-
piperidinyl]- (9CI) (CA INDEX NAME)

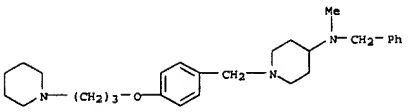


RN 398473-42-2 CAPLUS
CN Piperazine,
1-(phenylmethyl)-4-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl
]- (9CI) (CA INDEX NAME)

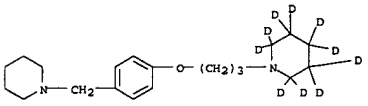


RN 398473-43-3 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane,
8-[[4-[3-(1-piperidinyl)propoxy]phenyl]me
thyl]- (9CI) (CA INDEX NAME)

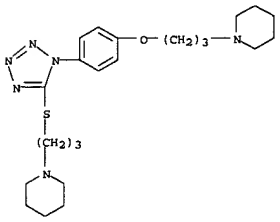
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



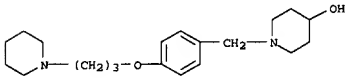
RN 398473-36-4 CAPLUS
CN Piperidine-2,2,3,3,4,4,5,5,6,6-d10, 1-[3-[4-(1-
piperidinylmethyl)phenoxy]propyl]- (9CI) (CA INDEX NAME)



RN 398473-37-5 CAPLUS
CN Piperidine, 1-[3-[[1-[4-[3-(1-piperidinyl)propoxy]phenyl]-1H-tetrazol-5-
yl]thio]propyl]- (9CI) (CA INDEX NAME)

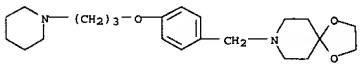


RN 398473-38-6 CAPLUS
CN 4-Piperidinol, 1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA
INDEX NAME)

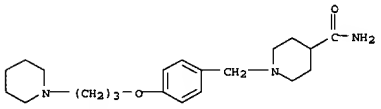


RN 398473-39-7 CAPLUS

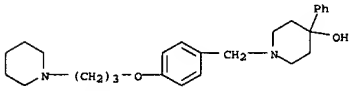
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



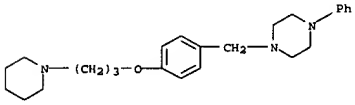
RN 398473-44-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-
(9CI) (CA INDEX NAME)



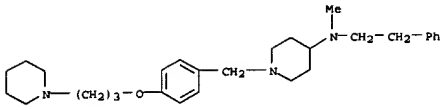
RN 398473-45-5 CAPLUS
CN 4-Piperidinol, 4-phenyl-1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-
(9CI) (CA INDEX NAME)



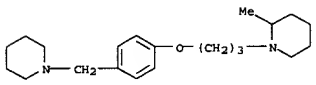
RN 398473-46-6 CAPLUS
CN Piperazine, 1-phenyl-4-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-
(9CI)
(CA INDEX NAME)



RN 398473-47-7 CAPLUS
CN 4-Piperidinamine, N-methyl-N-(2-phenylethyl)-1-[[4-[3-(1-
piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 398473-48-8 CAPLUS
CN Piperidine, 2-methyl-1-[3-[4-(1-piperidinylmethyl)phenoxy]propyl]- (9CI) (CA INDEX NAME)



RN 398473-49-9 CAPLUS
CN 2-Pyridinamine, N-[1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-4-piperidinyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

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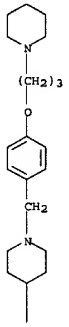

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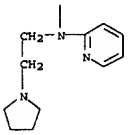
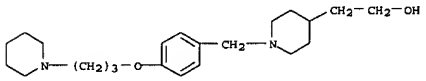
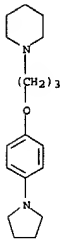


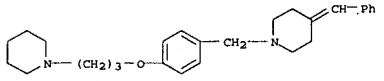
RN 398473-50-2 CAPLUS
CN 4-Piperidineethanol, 1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



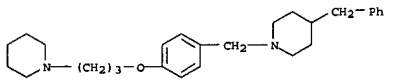
RN 398473-51-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



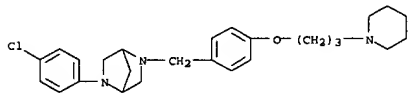
RN 398473-52-4 CAPLUS
CN Piperidine, 4-(phenylmethylene)-1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



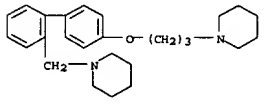
RN 398473-53-5 CAPLUS
CN Piperidine, 4-(phenylmethyl)-1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



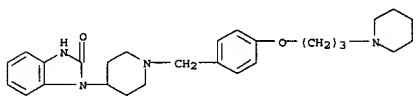
RN 398473-54-6 CAPLUS
CN 2,5-Diazabicyclo[2.2.1]heptane, 2-(4-chlorophenyl)-5-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



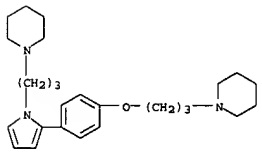
RN 398473-55-7 CAPLUS
CN Piperidine, 1-[3-[[2'-(1-piperidinylmethyl)[1,1'-biphenyl]-4-yl]oxy]propyl]- (9CI) (CA INDEX NAME)



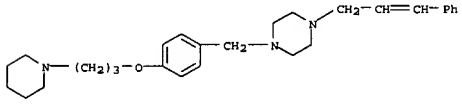
RN 398473-56-8 CAPLUS
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



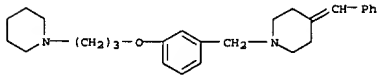
RN 398473-57-9 CAPLUS
CN Piperidine, 1-[3-[2-[4-[3-(1-piperidinyl)propoxy]phenyl]-1H-pyrrol-1-yl]propyl]- (9CI) (CA INDEX NAME)



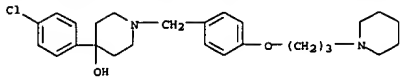
RN 398473-58-0 CAPLUS
CN Piperazine, 1-(3-phenyl-2-propenyl)-4-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



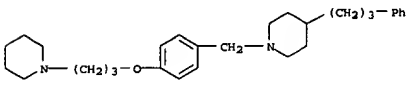
RN 398473-59-1 CAPLUS
CN Piperidine, 4-(phenylmethylene)-1-[[3-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



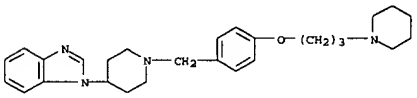
RN 398473-60-4 CAPLUS
CN 4-Piperidinol, 4-(4-chlorophenyl)-1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



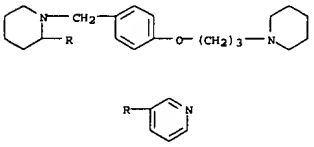
RN 398473-61-5 CAPLUS
CN Piperidine, 4-(3-phenylpropyl)-1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



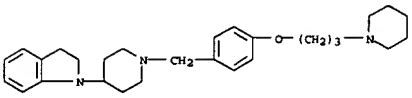
RN 398473-62-6 CAPLUS
CN 1H-Benzimidazole, 1-[1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



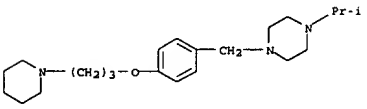
RN 398473-63-7 CAPLUS
CN Pyridine, 3-[1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-2-piperidinyl]- (9CI) (CA INDEX NAME)



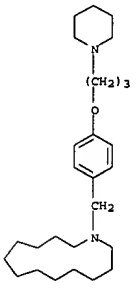
RN 398473-64-8 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



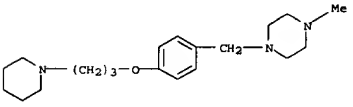
RN 398473-65-9 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



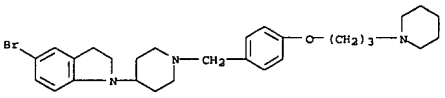
RN 398473-66-0 CAPLUS
CN Azacyclotridecane, 1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



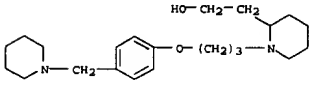
RN 398473-67-1 CAPLUS
CN Piperazine, 1-methyl-4-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



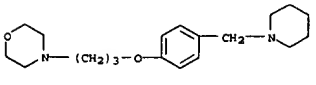
RN 398473-68-2 CAPLUS
CN 1H-Indole, 5-bromo-2,3-dihydro-1-[1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



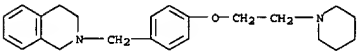
RN 398473-69-3 CAPLUS
CN 2-Piperidineethanol, 1-[3-[4-(1-piperidinylmethyl)phenoxy]propyl]- (9CI) (CA INDEX NAME)



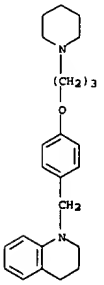
RN 398473-70-6 CAPLUS
CN Morpholine, 4-[3-[4-(1-piperidinylmethyl)phenoxy]propyl]- (9CI) (CA INDEX NAME)



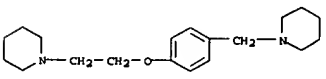
RN 398473-71-7 CAPLUS
CN Isoquinoline, 1,2,3,4-tetrahydro-2-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



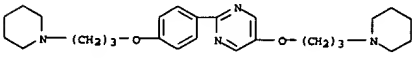
RN 398473-72-8 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 398473-73-9 CAPLUS
CN Piperidine, 1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

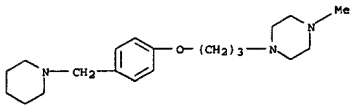


RN 398473-75-1 CAPLUS
CN Pyrimidine, 5-[3-(1-piperidinyl)propoxy]-2-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)

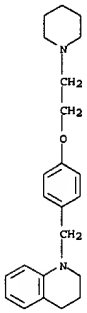


RN 398473-76-2 CAPLUS

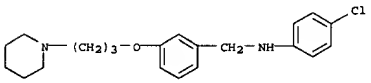
CN Piperazine, 1-methyl-4-[3-[4-(1-piperidinylmethyl)phenoxy]propyl]- (9CI) (CA INDEX NAME)



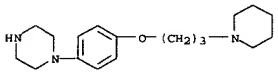
RN 398473-77-3 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



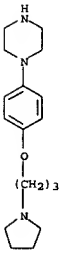
RN 398473-78-4 CAPLUS
CN Benzenemethanamine, N-(4-chlorophenyl)-3-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



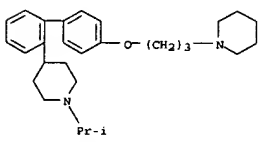
RN 398473-79-5 CAPLUS
CN 4-Piperidinol, 1-(phenylmethyl)-4-[4-[3-(1-piperidinyl)propoxy]phenyl]-



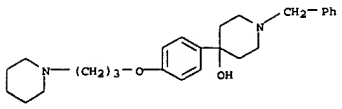
RN 398473-85-3 CAPLUS
CN Piperazine, 1-[4-[3-(1-pyrrolidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



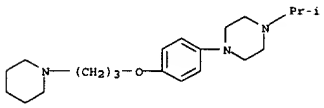
RN 398473-86-4 CAPLUS
CN Piperidine, 1-(1-methylethyl)-4-[4'-[3-(1-piperidinyl)propoxy][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



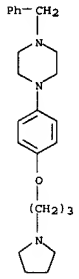
RN 398473-87-5 CAPLUS
CN Piperidine, 1-[3-[4-[2-(1-methyl-2-pyrrolidinyl)ethyl]phenoxy]propyl]- (9CI) (CA INDEX NAME)



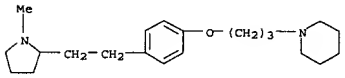
RN 398473-80-8 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



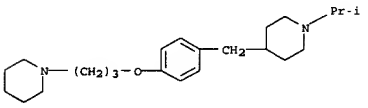
RN 398473-82-0 CAPLUS
CN Piperazine, 1-(phenylmethyl)-4-[4-[3-(1-pyrrolidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



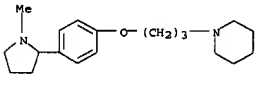
RN 398473-84-2 CAPLUS
CN Piperazine, 1-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



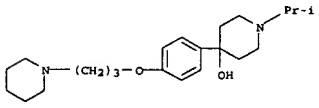
RN 398473-88-6 CAPLUS
CN Piperidine, 1-(1-methylethyl)-4-[[4-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



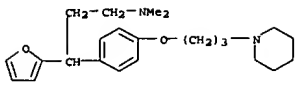
RN 398473-89-7 CAPLUS
CN Piperidine, 1-[3-[4-(1-methyl-2-pyrrolidinyl)phenoxy]propyl]- (9CI) (CA INDEX NAME)



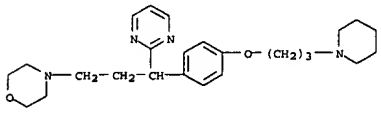
RN 398473-90-0 CAPLUS
CN 4-Piperidinol, 1-(1-methylethyl)-4-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



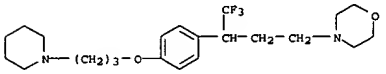
RN 398473-91-1 CAPLUS
CN 2-Furanpropanamine, N,N-dimethyl-.gamma.-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



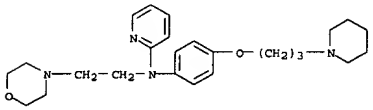
RN 398473-92-2 CAPLUS
CN Morpholine, 4-[3-[4-[3-(1-piperidinyl)propoxy]phenyl]-3-(2-pyrimidinyl)propyl]- (9CI) (CA INDEX NAME)



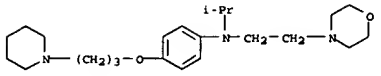
RN 398473-93-3 CAPLUS
CN Morpholine, 4-[4,4,4-trifluoro-3-[4-[3-(1-piperidinyl)propoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



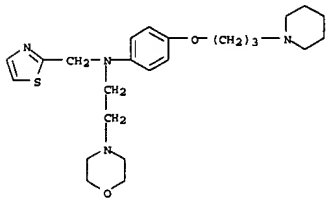
RN 398473-94-4 CAPLUS
CN 4-Morpholineethanamine, N-[4-[3-(1-piperidinyl)propoxy]phenyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



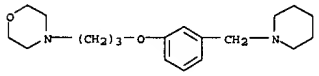
RN 398473-95-5 CAPLUS
CN 4-Morpholineethanamine, N-(1-methylethyl)-N-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 398473-96-6 CAPLUS
CN 4-Morpholineethanamine, N-[4-[3-(1-piperidinyl)propoxy]phenyl]-N-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

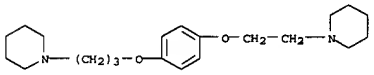


RN 398474-05-0 CAPLUS
CN Morpholine, 4-[3-[3-(1-piperidinylmethyl)phenoxy]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

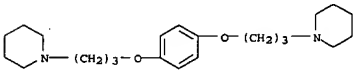


●2 HCl

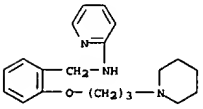
RN 398474-06-1 CAPLUS
CN Piperidine, 1-[3-[4-[2-(1-piperidinyl)ethoxy]phenoxy]propyl]- (9CI) (CA INDEX NAME)



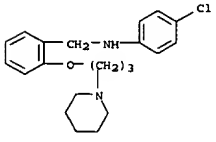
RN 398474-07-2 CAPLUS
CN Piperidine, 1,1'-[1,4-phenylenebis(oxy-3,1-propanediyl)]bis- (9CI) (CA INDEX NAME)



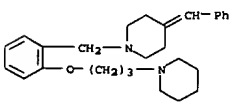
RN 398474-12-9 CAPLUS
CN 2-Pyridinamine, N-[[2-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 398474-13-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

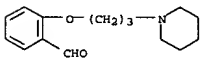


RN 398474-14-1 CAPLUS
CN Piperidine, 4-(phenylmethylene)-1-[[2-[3-(1-piperidinyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

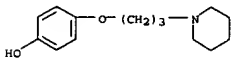


IT 68997-50-2P, 2-(3-(Piperidin-1-yl)propoxy)benzaldehyde

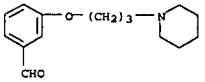
81878-15-1P, 4-(3-(Piperidin-1-yl)propoxy)phenol
82625-43-2P, 3-(3-(Piperidin-1-yl)propoxy)benzaldehyde
82625-46-5P, 4-(3-(Piperidin-1-yl)propoxy)benzaldehyde
398473-98-8P, 1-[3-(4-Iodophenoxy)propyl]piperidine
398474-03-8P, 4'-(3-(Piperidin-1-yl)propoxy)biphenyl-2-carboxaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of non-imidazole aryloxyalkylamines as histamine-H3 receptor antagonists)
RN 68997-50-2 CAPLUS
CN Benzaldehyde, 2-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



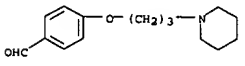
RN 81878-15-1 CAPLUS
CN Phenol, 4-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 82625-43-2 CAPLUS
CN Benzaldehyde, 3-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

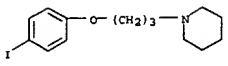


RN 82625-46-5 CAPLUS
CN Benzaldehyde, 4-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

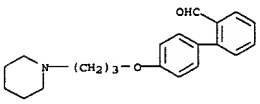


RN 398473-98-8 CAPLUS
CN Piperidine, 1-[3-(4-iodophenoxy)propyl]- (9CI) (CA INDEX NAME)

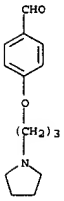
L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 398474-03-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxaldehyde, 4'-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

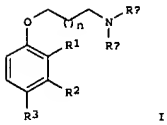


IT **164917-35-5**, 4-(3-(Pyrrolidin-1-yl)propoxy)benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of non-imidazole aryloxyalkylamines as histamine-H3 receptor antagonists)
RN 164917-35-5 CAPLUS
CN Benzaldehyde, 4-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

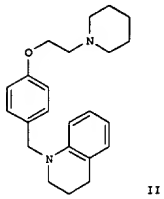


GI

L10 ANSWER 15 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



I



II

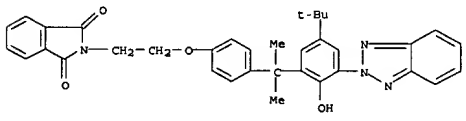
AB Title compds. I [Ra-b = alk(en/yn)yl, cycloalkyl; n = 0-4; one of R1-3 = G
and the remaining two are H or halo; G = N-contg. heterocycle, e.g., piperidinyl, etc.] were prepd. For instance, 4-(2-(piperidin-1-yl)ethoxy)benzaldehyde was used to alkylate 1,2,3,4-tetrahydroisoquinoline (ClCH2CH2Cl, HOAc, NaBH(OAc)3, 15 h) to give II. II had Ki = 37 nM for the histamine H3 receptor. I are useful for treating histamine-mediated conditions.

L10 ANSWER 16 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:122971 CAPLUS
DOCUMENT NUMBER: 136:185440
TITLE: Benzotriazoles containing .alpha.-cumyl groups substituted by heteroatoms and stable compositions
INVENTOR(S): Wood, Mervin Gale; Suhadolnik, Joseph; Ravichandran, Ramanathan; Lau, Jacqueline; Hendricks-Guy, Carmen; Bulliard, Christophe
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012205	A1	20020214	WO 2001-EP8665	20010726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-632216 A 20000803
IT **398474-56-1P**
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(benzotriazoles contg. .alpha.-cumyl groups substituted by heteroatoms and stable compns.)
RN 398474-56-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



AB 2H-Benzotriazole UV absorbers substituted at the 3-position and/or the 5-position of the Ph ring by .alpha.-cumyl moiety which is substituted by a heteroatom are particularly photostable in automotive coatings, photog. layers, and are of low color and exhibit low volatility in thermoplastic compns. Automotive coatings of a melamine-curable acrylic polyol binder stabilized using benzotriazole UV absorber, 5-trifluoromethyl-2-[2-hydroxy-3-(4-fluoro-.alpha.-cumyl)-5-tert-octylphenyl]-2H-benzotriazole (prepn. given), showed absorbance loss in 1026 h (weather-o-meter) 0.13 units; vs. 0.42 units for a com. stabilizer Tinuvin 384.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

L10 ANSWER 16 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Kamal Saeed

L10 ANSWER 17 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:122958 CAPLUS
DOCUMENT NUMBER: 136:167286
TITLE: Preparation of cyclic amine derivatives as remedies for obesity and diabetes
INVENTOR(S): Yano, Toshisada; Sakaguchi, Isako; Katsuura, Goro; Yoshikawa, Naoki
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012191	A1	20020214	WO 2001-JP6673	20010802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

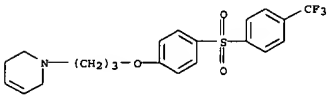
PRIORITY APPLN. INFO.: JP 2000-239647 A 20000808
OTHER SOURCE(S): MARPAT 136:167286
IT 398126-32-4P 398126-34-6P 398126-35-7P
398126-36-8P 398126-37-9P 398126-39-1P
398126-41-5P 398126-43-7P 398126-44-8P
398126-45-9P 398126-46-0P 398126-47-1P
398126-48-2P 398126-49-3P 398126-50-6P
398126-51-7P 398126-52-8P 398126-53-9P
398126-54-0P 398126-55-1P 398126-56-2P
398126-57-3P 398126-58-4P 398126-59-5P
398126-60-8P 398126-61-9P 398126-64-2P
398126-65-3P 398126-66-4P 398126-67-5P
398126-68-6P 398126-69-7P 398126-70-0P
398126-71-1P 398126-72-2P 398126-73-3P
398126-74-4P 398126-75-5P 398126-76-6P
398126-77-7P 398126-78-8P 398126-79-9P
398126-80-2P 398126-81-3P 398126-82-4P
398126-83-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amine derivs. as remedies for obesity and diabetes)

RN 398126-32-4 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[3-[4-[[4-(trifluoromethyl)phenyl]methyl]phenoxy]propyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

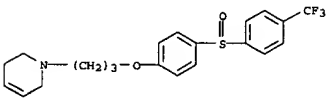
CM 1

CRN 398126-31-3
CMF C22 H24 F3 N O

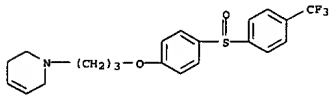
L10 ANSWER 17 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 398126-37-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

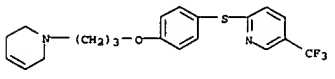


RN 398126-39-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



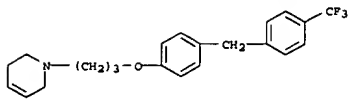
● HCl

RN 398126-41-5 CAPLUS
CN Pyridine, 2-[[4-[3-(3,6-dihydro-1(2H)-pyridinyl)propoxy]phenyl]thio]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 398126-43-7 CAPLUS
CN Pyridine, 2-[[4-[3-(3,6-dihydro-1(2H)-pyridinyl)propoxy]phenyl]thio]-5-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

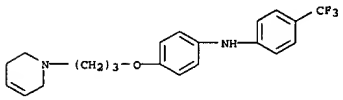
L10 ANSWER 17 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



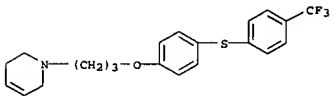
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 398126-34-6 CAPLUS
CN Benzenamine, N-[4-[3-(3,6-dihydro-1(2H)-pyridinyl)propoxy]phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

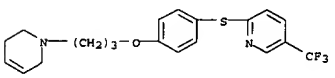


RN 398126-35-7 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[3-[4-[[4-(trifluoromethyl)phenyl]thio]phenoxy]propyl]- (9CI) (CA INDEX NAME)



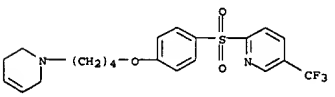
RN 398126-36-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L10 ANSWER 17 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

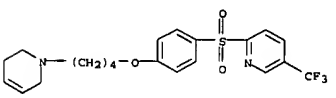


● HCl

RN 398126-44-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

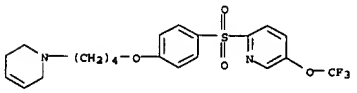


RN 398126-45-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



● HCl

RN 398126-46-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

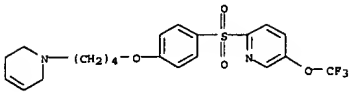


RN 398126-47-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Kamal Saeed

CM 1

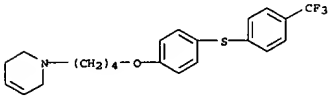
CRN 398126-46-0
CMF C21 H23 F3 N2 O4 S



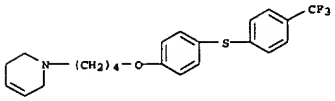
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 398126-48-2 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[[4-(trifluoromethyl)phenyl]thio]phen
oxy]butyl]- (9CI) (CA INDEX NAME)

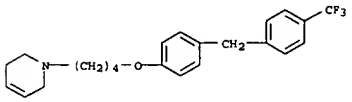


RN 398126-49-3 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[[4-(trifluoromethyl)phenyl]thio]phen
oxy]butyl]-, hydrochloride (9CI) (CA INDEX NAME)

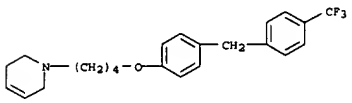


● HCl

RN 398126-50-6 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[[4-(trifluoromethyl)phenyl]methyl]ph
enoxy]butyl]- (9CI) (CA INDEX NAME)

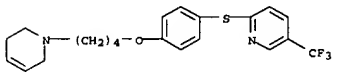


RN 398126-51-7 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[[4-(trifluoromethyl)phenyl]methyl]ph
enoxy]butyl]-, hydrochloride (9CI) (CA INDEX NAME)

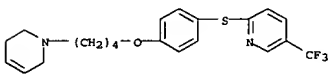


● HCl

RN 398126-52-8 CAPLUS
CN Pyridine, 2-[[4-[4-(3,6-dihydro-1(2H)-pyridinyl)butoxy]phenyl]thio]-5-
(trifluoromethyl)- (9CI) (CA INDEX NAME)

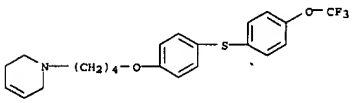


RN 398126-53-9 CAPLUS
CN Pyridine, 2-[[4-[4-(3,6-dihydro-1(2H)-pyridinyl)butoxy]phenyl]thio]-5-
(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

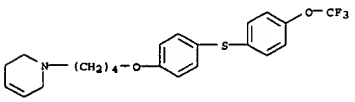
RN 398126-54-0 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[[4-(trifluoromethoxy)phenyl]thio]phe
noxy]butyl]- (9CI) (CA INDEX NAME)



RN 398126-55-1 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[[4-(trifluoromethoxy)phenyl]thio]phe
noxy]butyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

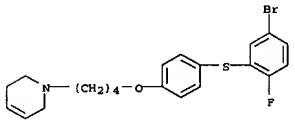
CRN 398126-54-0
CMF C22 H24 F3 N O2 S



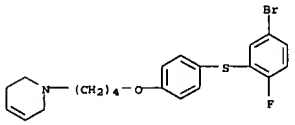
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 398126-56-2 CAPLUS
CN Pyridine, 1-[4-[4-[(5-bromo-2-fluorophenyl)thio]phenoxy]butyl]-1,2,3,6-
tetrahydro- (9CI) (CA INDEX NAME)

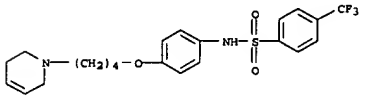


RN 398126-57-3 CAPLUS
CN Pyridine, 1-[4-[4-[(5-bromo-2-fluorophenyl)thio]phenoxy]butyl]-1,2,3,6-
tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

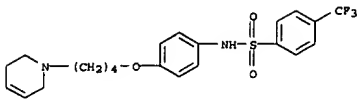
RN 398126-58-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 398126-59-5 CAPLUS
CN Benzenesulfonamide,
N-[4-[4-(3,6-dihydro-1(2H)-pyridinyl)butoxy]phenyl]-4-
(trifluoromethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

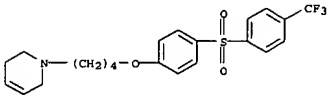
CRN 398126-58-4
CMF C22 H25 F3 N2 O3 S



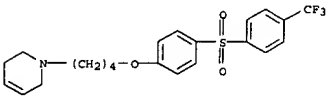
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 398126-60-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



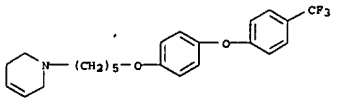
RN 398126-61-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



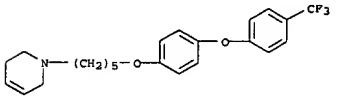
● HCl

RN 398126-64-2 CAPLUS

CN Pyridine,
1,2,3,6-tetrahydro-1-[5-[4-[4-(trifluoromethyl)phenoxy]phenoxy]pentyl]- (9CI) (CA INDEX NAME)

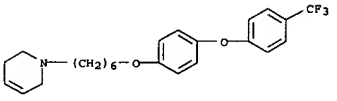


RN 398126-65-3 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[5-[4-[4-(trifluoromethyl)phenoxy]phenoxy]pentyl]-, hydrochloride (9CI) (CA INDEX NAME)



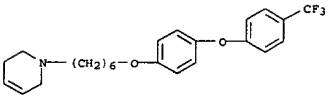
● HCl

RN 398126-66-4 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[6-[4-[4-(trifluoromethyl)phenoxy]phenoxy]hexyl]-, hydrochloride (9CI) (CA INDEX NAME)

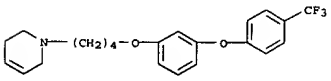


● HCl

RN 398126-67-5 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[6-[4-[4-(trifluoromethyl)phenoxy]phenoxy]hexyl]- (9CI) (CA INDEX NAME)

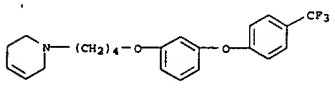


RN 398126-68-6 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[3-[4-(trifluoromethyl)phenoxy]phenoxy]butyl]-, hydrochloride (9CI) (CA INDEX NAME)

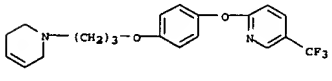


● HCl

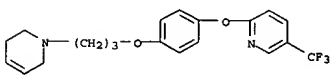
RN 398126-69-7 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[3-[4-(trifluoromethyl)phenoxy]phenoxy]butyl]- (9CI) (CA INDEX NAME)



RN 398126-70-0 CAPLUS
CN Pyridine, 2-[4-[3-(3,6-dihydro-1(2H)-pyridinyl)propoxy]phenoxy]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

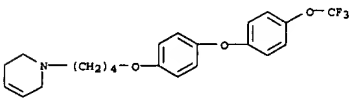


RN 398126-71-1 CAPLUS
CN Pyridine, 2-[4-[3-(3,6-dihydro-1(2H)-pyridinyl)propoxy]phenoxy]-5-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

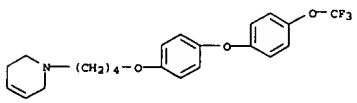


● HCl

RN 398126-72-2 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[4-(trifluoromethoxy)phenoxy]phenoxy]butyl]- (9CI) (CA INDEX NAME)

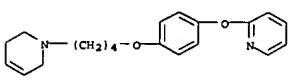


RN 398126-73-3 CAPLUS
CN Pyridine,
1,2,3,6-tetrahydro-1-[4-[4-[4-(trifluoromethoxy)phenoxy]phenoxy]butyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

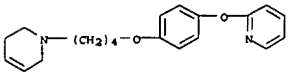
RN 398126-74-4 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[4-[4-(2-pyridinyloxy)phenoxy]butyl]- (9CI)
(CA INDEX NAME)



RN 398126-75-5 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[4-[4-(2-pyridinyloxy)phenoxy]butyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

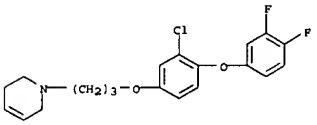
CRN 398126-74-4
CMF C20 H24 N2 O2



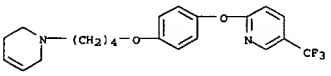
CM 2

CRN 144-62-7
CMF C2 H2 O4

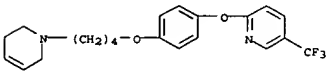
RN 398126-76-6 CAPLUS
CN Pyridine, 1-[3-[3-chloro-4-(3,4-difluorophenoxy)phenoxy]propyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 398126-77-7 CAPLUS
CN Pyridine, 1-[3-[3-chloro-4-(3,4-difluorophenoxy)phenoxy]propyl]-1,2,3,6-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

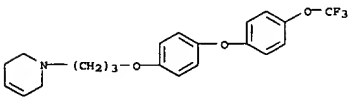


RN 398126-81-3 CAPLUS
CN Pyridine, 2-[4-[4-(3,6-dihydro-1(2H)-pyridinyl)butoxy]phenoxy]-5-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

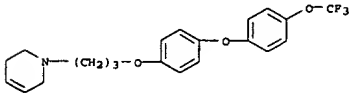


● HCl

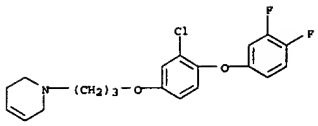
RN 398126-82-4 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[3-[4-[4-(trifluoromethoxy)phenoxy]phenoxy]propyl]- (9CI) (CA INDEX NAME)



RN 398126-83-5 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[3-[4-[4-(trifluoromethoxy)phenoxy]phenoxy]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

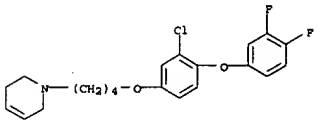


● HCl

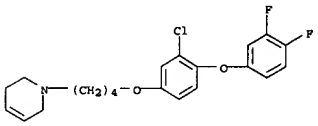


● HCl

RN 398126-78-8 CAPLUS
CN Pyridine, 1-[4-[3-chloro-4-(3,4-difluorophenoxy)phenoxy]butyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 398126-79-9 CAPLUS
CN Pyridine, 1-[4-[3-chloro-4-(3,4-difluorophenoxy)phenoxy]butyl]-1,2,3,6-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

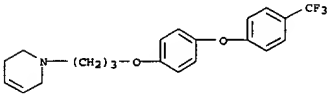


● HCl

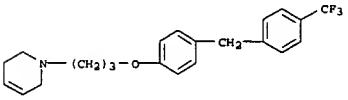
RN 398126-80-2 CAPLUS
CN Pyridine, 2-[4-[4-(3,6-dihydro-1(2H)-pyridinyl)butoxy]phenoxy]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

IT **287480-16-4P 398126-31-3P 398126-84-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclic amine derivs. as remedies for obesity and diabetes)

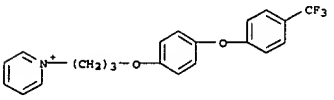
RN 287480-16-4 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[3-[4-[4-(trifluoromethyl)phenoxy]phenoxy]propyl]- (9CI) (CA INDEX NAME)



RN 398126-31-3 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[3-[4-[[4-(trifluoromethyl)phenyl]methyl]phenoxy]propyl]- (9CI) (CA INDEX NAME)



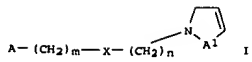
RN 398126-84-6 CAPLUS
CN Pyridinium, 1-[3-[4-[4-(trifluoromethyl)phenoxy]phenoxy]propyl]-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

GI

L10 ANSWER 17 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



I

AB The title compds. I [A1 = (CH2)p; A is aryl, etc. (further details on A are given); X = O, etc.; m is an integer of 0 to 4; n is an integer of 1 to 6; and p is an integer of 1 to 3] are prepd. Two compds. of this invention at 80 mg/kg s. c. for 7 days caused significant body wt. decrease in mice. Formulations are given.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 5482 CAPLUS COPYRIGHT 2002 ACS

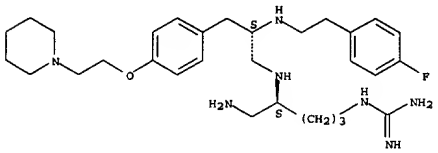
ACCESSION NUMBER: 2002:122935 CAPLUS
DOCUMENT NUMBER: 136:184117
TITLE: Preparation of triamine derivative melanocortin receptor ligands
INVENTOR(S): Watson-Straughan, Karen J.; Gahman, Timothy C.; Qi, Ming; Hamashin, Christa; MacDonald, James E.; Green, Michael J.; Holme, Kevin R.; Griffith, Michael C.
PATENT ASSIGNEE(S): Lion Bioscience A.-G., Germany
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012166	A2	20020214	WO 2001-EP8417	20010720

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-632928 A 20000804
IT **398482-33-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of triamine deriv. melanocortin receptor ligands)
RN 398482-33-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



AB Triamine deriv. melanocortin (MC) receptor ligands R2R3NCH2CHR1NHCH2(CH2)nR [R = (un)substituted Ph or cyclohexyl; n = 0-2; R1 = H, (un)substituted alkyl, phenylalkyl, naphthylalkyl; when R2 is absent, R3 together with the attached nitrogen form a substituted heterocycle or cyclic alkylene; when R2 is H or (un)substituted alkyl, R3 is X(Y)CH, where X is H, (un)substituted alkyl, phenylalkyl, Ph or naphthyl and Y is Z(CH2)n (n = 1-6, Z = amino or protected amino)] or their pharmaceutically acceptable salts were prepd. Data for libraries of

L10 ANSWER 18 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

triamine derivs. and starting materials are tabulated. E.g., Boc-Asp(OFm)-OH (Boc = tert-butoxycarbonyl, Fm = 9-fluorenylmethyl), Boc-Tyr(Et)-OH, 4-BrC6H4CH2CO2H, and cyclopropylamine (c-C3H5NH2) were applied to the synthesis of H2NCH2CH(CH2CH2NHC3H5-c)NHCH2CH(CH2C6H4OEt-4)NHCH2CH2C6H4Br-4. The triamine derivs. of the invention exhibit a range of affinities and specificity for various MC receptors.

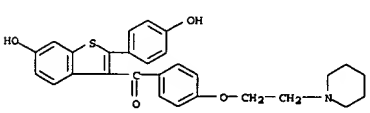
L10 ANSWER 19 OF 5482 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:122767 CAPLUS
DOCUMENT NUMBER: 136:178014
TITLE: Aryl-substituted 1,1-diphosphonates for stimulating bone formation
INVENTOR(S): Niesor, Eric J.; Guyon-Gellin, Yves; Bentzen, Craig L.; Nguyen, Lan Mong; Phan, Hieu Trung
PATENT ASSIGNEE(S): Symphar S.A., Switz.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011704	A2	20020214	WO 2001-EP8676	20010727

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-19272 A 20000804
IT **84449-90-1**, Raloxifene
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aryl-substituted diphosphonates for stimulating bone formation)
RN 84449-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



AB The invention provides the use of an aryl-substituted 1,1-diphosphonate for the manuf. of a medicament for stimulating bone formation. The aryl-substituted 1,1-diphosphonates of the invention are ALC(PO3R1R2)(PO3R3R4)(B)t where [A = Q1-Q3; X0 = H, C1-4 alkyl; X1-X3 = H, C1-8 (un)branched alkyl or alkoxy; X4 = H, C1-8 (un)branched alkyl, (un)substituted benzyl; X5 = H, C1-8 (un)branched alkyl; X6 = H, C1-4 alkyl; q = 0, 1; R1-R4 = H, C1-8 (un)branched or cyclic alkyl, or R1, R2 and R3 and R4 may form C2-8 alkylidenedioxy ring; L = CH=CH-CH2, (CH2)n, O(CH2)n, S, SO2, S(CH2)n, SO2(CH2)n (n = 1-7), or together with B, L is (CH=CH)k(CH2)dCH= (k = 0, 1); d = 0-4; B = H, C1-4 alkyl; t = 0, 1; with provisos]. Synthesis of selected compds. is described.

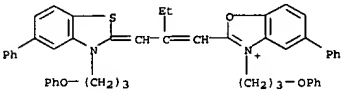
Kamal Saeed

L10 ANSWER 20 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:119576 CAPLUS
DOCUMENT NUMBER: 136:175419
TITLE: Silver halide photographic emulsion containing sensitizing dye
INVENTOR(S): Furuchi, Hideo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002049113	A2	20020215	JP 2000-238643	20000807

IT **297753-85-6 320610-25-1 396659-16-8 396659-18-0**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(photog. emulsion manufd. by adding sensitizing dye at state other than org. soln.)

RN 297753-85-6 CAPLUS
CN Benzoxazolium, 3-(3-phenoxypropyl)-2-[2-[[3-(3-phenoxypropyl)-5-phenyl-2(3H)-benzothiazolylidene]methyl]-1-butenyl]-5-phenyl-, bromide (9CI) (CA INDEX NAME)



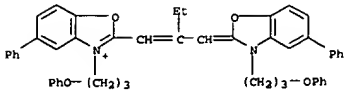
● Br⁻

RN 320610-25-1 CAPLUS
CN Benzoxazolium, 3-(3-phenoxypropyl)-2-[2-[[3-(3-phenoxypropyl)-5-phenyl-2(3H)-benzoxazolylidene]methyl]-1-butenyl]-5-phenyl-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

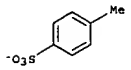
CRN 320610-24-0
CMF C49 H45 N2 O4

L10 ANSWER 20 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



CM 2

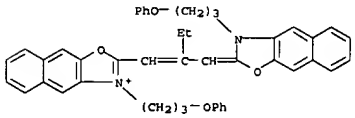
CRN 16722-51-3
CMF C7 H7 O3 S



RN 396659-16-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

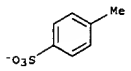
CM 1

CRN 396659-15-7
CMF C45 H41 N2 O4



CM 2

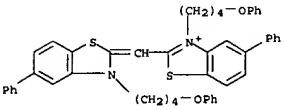
CRN 16722-51-3
CMF C7 H7 O3 S



RN 396659-18-0 CAPLUS
CN Benzothiazolium, 3-(4-phenoxybutyl)-2-[[3-(4-phenoxybutyl)-5-phenyl-2(3H)-benzothiazolylidene]methyl]-5-phenyl-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

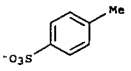
CM 1

CRN 396659-17-9
CMF C47 H43 N2 O2 S2



CM 2

CRN 16722-51-3
CMF C7 H7 O3 S



AB In manuf. of the photog. emulsion with light absorbance .gtoreq.60 at .lambda.max <500 nm or .gtoreq.100 at .lambda.max .gtoreq.500 nm, a sensitizing dye is added at the state other than soln. in an org. solvent.
The emulsion with multilayer adsorption of sensitizing dye shows stable spectral absorption and stability to coupler oil droplet dispersion.

L10 ANSWER 21 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107338 CAPLUS
DOCUMENT NUMBER: 136:167378
TITLE: Preparation of 3-indolyl-4-phenyl-1H-pyrrole-2,5-dione derivatives as inhibitors of glycogen synthase kinase-3beta for therapeutic agents
INVENTOR(S): Gong, Leyi; Grupe, Andrew; Peltz, Gary Allen
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

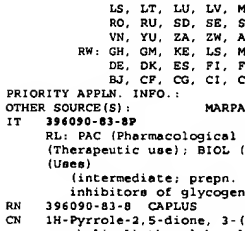
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010158	A2	20020207	WO 2001-EP8293	20010718

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-221058P P 20000727
OTHER SOURCE(S): MARPAT 136:167378

IT **396090-83-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(intermediate; prepn. of (indolylphenyl)-1H-pyrroledione derivs. as inhibitors of glycogen synthase kinase-3.beta. for therapeutic agents)

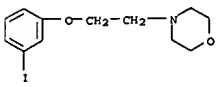
RN 396090-83-8 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



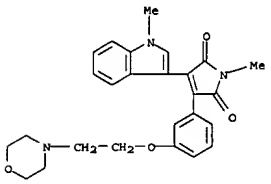
IT **103808-70-4P 396090-84-9P 396090-85-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of (indolylphenyl)-1H-pyrroledione derivs. as

Kamal Saeed

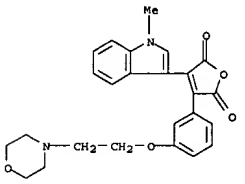
L10 ANSWER 21 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
inhibitors of glycogen synthase kinase-3.beta. for therapeutic agents)
RN 103808-70-4 CAPLUS
CN Morpholine, 4-[2-(3-iodophenoxy)ethyl]- (9CI) (CA INDEX NAME)



RN 396090-84-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 1-methyl-3-(1-methyl-1H-indol-3-yl)-4-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



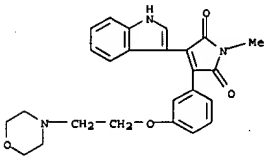
RN 396090-85-0 CAPLUS
CN 2,5-Furandione, 3-(1-methyl-1H-indol-3-yl)-4-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



IT **396090-86-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (indolylphenyl)-1H-pyrroledione derivs. as inhibitors of glycogen synthase kinase-3.beta. for therapeutic agents)

L10 ANSWER 21 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
diabetes, atherosclerotic cardiovascular disease, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, cancer, allergy, and asthma in a mammal. The present inhibitor of GSK-3.beta. is also used for the treatment of a disease characterized by an excess of CD4+Th2 cytokines, which is asthma, allergy or allergic rhinitis or for the treatment of a disease characterized by an excess IgE prodn., which is asthma, allergy or allergic rhinitis. The GSK-3.beta. inhibitor is preferably at least 10 fold more selective for GSK-3.beta. relative to PKC. Thus, Mitsunobu reaction of Me 3-hydroxyphenylacetate with 2-chloroethanol using Ph3P and diisopropyl azodicarboxylate in THF at room temp. overnight gave Me 3-(2-chloroethoxy)phenylacetate which was sapond. with aq. LiOH and treated with AcOH to give 3-(2-chloroethoxy)phenylacetic acid (II) which was converted into 3-(1-methylindol-3-yl)-4-[3-(2-aminoethyloxy)-phenyl]-1H-pyrrole-2,5-dione (III) in 4 steps. III in vitro showed IC50 of 0.02 .mu.M against GSK-3.beta..

L10 ANSWER 21 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 396090-86-1 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(1H-indol-3-yl)-1-methyl-4-[3-[2-(4-morpholinyl]ethoxy]phenyl]- (9CI) (CA INDEX NAME)



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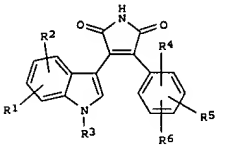

AB The title compds. [I; R1, R2 = H, alkyl, halogen, haloalkyl, alkylthio, HO, alkoxy, cyano, nitro, amino, acylamino, monoalkylamino, or dialkylamino; R3 represents hydrogen, alkyl, cycloalkyl, heteroalkyl, CHO, alkylcarbonyl, or (un)substituted phenyl; R4, R5 = H, alkyl, halogen, haloalkyl, alkylthio, hydroxy, alkoxy, cyano, nitro, amino, acylamino, monoalkylamino, or dialkylamino; R6 = heteroalkyl, heterocyclyl, heterocyclylalkyl, heteroalkyl-substituted heterocyclyl, heteroalkyl-substituted cycloalkyl, heterosubstituted cycloalkyl, OR8, -S(O)nR8 (wherein n = an integer from 0 to 2; and R8 is heteroalkyl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl), NR9R10 (wherein R9 = hydrogen, alkyl; R10 = heterosubstituted cycloalkyl, heteroalkyl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl), or -X-(alkylene)-Y-Z (wherein X = a covalent bond, O, NH, or S(O)n; where n = an integer from 0 to 2; Y = O, NH, or S and Z = heteroalkyl or SiR1(R12)(R13) (where R11, R12, R13 are independently hydrogen or alkyl)), or R6 together with adjacent R4 forms a methylenedioxy or ethylenedioxy group] or pharmaceutically acceptable salts thereof are prepd. Owing to the inhibitory activity against glycogen synthase kinase-3.beta. (GSK-3.beta.), these compds. may be used for the treatment of GSK-3.beta. mediated diseases. More specifically, they are used for the treatment of GSK-3.beta. mediated diseases selected from Alzheimer's disease, obesity,

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107327 CAPLUS
DOCUMENT NUMBER: 136:167394
TITLE: Preparation of carboxamide compounds and their use as antagonists of a human 11CBY receptor
INVENTOR(S): Johnson, Christopher Norbert; Jones, Martin; O'Toole, Catherine Anne; Stemp, Geoffrey; Thewlis, Kevin Michael; Witty, David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010146	A1	20020207	WO 2001-EP8637	20010726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-18758 A 20000731
GB 2001-12544 A 20010523
OTHER SOURCE(S): MARPAT 136:167394
IT **394248-77-2P 394248-78-3P 394248-79-4P**
395677-59-5P 395677-60-8P 395677-85-7P
395677-92-6P 395677-93-7P 395677-94-8P
395677-95-9P 395678-14-5P 395678-15-6P
395678-16-7P 395678-18-9P 395678-20-3P
395678-21-4P 395678-34-9P 395678-35-0P
395678-36-1P 395678-37-2P 395678-38-3P
395678-39-4P 395678-41-8P 395678-42-9P
395678-43-0P 395678-44-1P 395678-47-4P
395678-53-2P 395678-56-5P 395678-76-9P
395678-77-0P 395678-81-6P 395678-83-8P
395678-86-1P 395678-87-2P 395678-88-3P
395678-89-4P 395678-90-7P 395678-92-9P
395679-42-2P 395679-43-3P 395679-46-6P
395679-93-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carboxamide compds. as antagonists of human 11CBY receptor)
RN 394248-77-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

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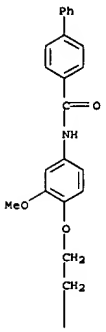

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RN 394248-78-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4'-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

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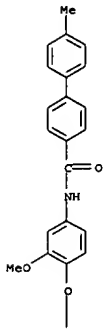
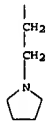


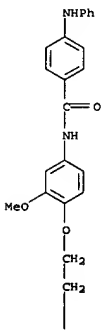
PAGE 2-A



RN 394248-79-4 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-(phenylamino)- (9CI) (CA INDEX NAME)

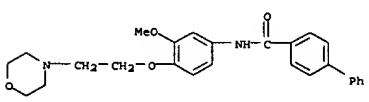
L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



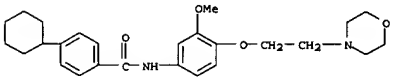
PAGE 2-A

RN 395677-59-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



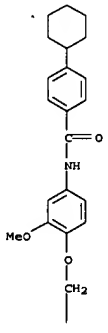
RN 395677-60-8 CAPLUS
CN Benzamide, 4-cyclohexyl-N-[3-methoxy-4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 395677-85-7 CAPLUS
CN Benzamide, 4-cyclohexyl-N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

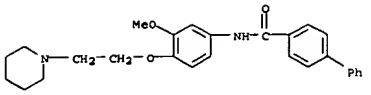
PAGE 1-A



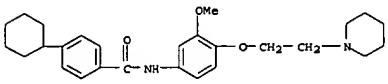
PAGE 2-A

RN 395677-92-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

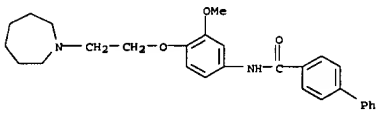
L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



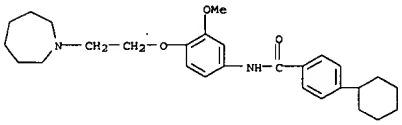
RN 395677-93-7 CAPLUS
CN Benzamide, 4-cyclohexyl-N-[3-methoxy-4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 395677-94-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

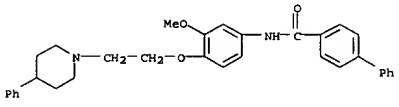


RN 395677-95-9 CAPLUS
CN Benzamide, 4-cyclohexyl-N-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

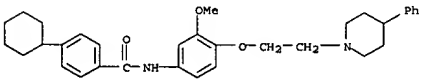


RN 395678-14-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 395678-21-4 CAPLUS
CN Benzamide, 4-cyclohexyl-N-[3-methoxy-4-[2-(4-phenyl-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 395678-34-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-ethenyl-N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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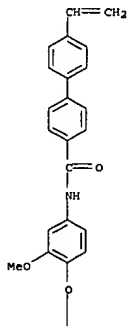
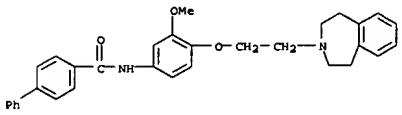
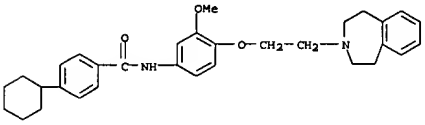


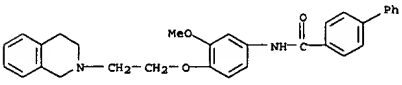
L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



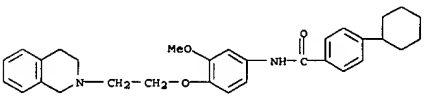
RN 395678-15-6 CAPLUS
CN Benzamide, 4-cyclohexyl-N-[3-methoxy-4-[2-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 395678-16-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 395678-18-9 CAPLUS
CN Benzamide, 4-cyclohexyl-N-[4-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 395678-20-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(4-phenyl-1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

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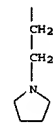

RN 395678-35-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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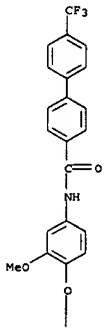

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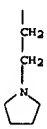

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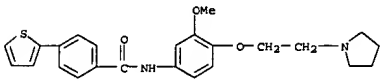
L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 395678-36-1 CAPLUS
CN Benzamide,
N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-(2-thienyl)-
(9CI) (CA INDEX NAME)



RN 395678-37-2 CAPLUS
CN Benzamide, 4-(6-methoxy-3-pyridinyl)-N-[3-methoxy-4-[2-(1-
pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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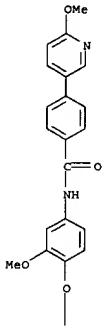

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN Benzamide, 4-(2,4-dimethoxy-5-pyrimidinyl)-N-[3-methoxy-4-[2-(1-
pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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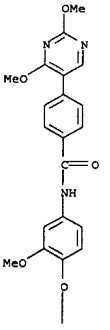

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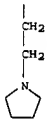
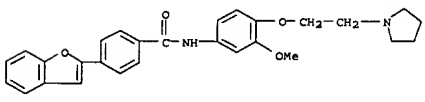


RN 395678-41-8 CAPLUS
CN Benzamide, 4-(2-benzofuranyl)-N-[3-methoxy-4-[2-(1-
pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

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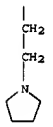

RN 395678-38-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1-
pyrrolidinyl)ethoxy]phenyl]-2'-methyl- (9CI) (CA INDEX NAME)

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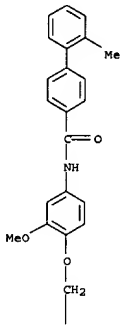

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RN 395678-39-4 CAPLUS

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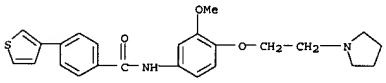
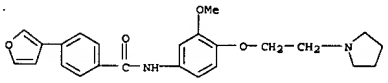
RN 395678-42-9 CAPLUS
CN Benzamide,
N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-(3-thienyl)-
(9CI) (CA INDEX NAME)



RN 395678-43-0 CAPLUS
CN Benzamide,
4-(3-furanyl)-N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-
(9CI) (CA INDEX NAME)



RN 395678-44-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1-
pyrrolidinyl)ethoxy]phenyl]-2',4',6'-trimethyl- (9CI) (CA INDEX NAME)

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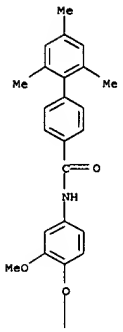

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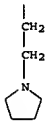

RN 395678-47-4 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)

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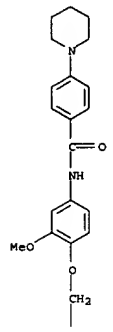

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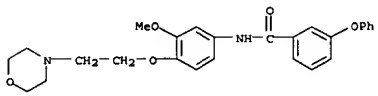
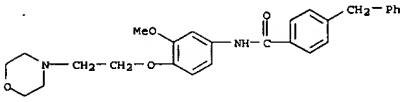
RN 395678-53-2 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(4-morpholinyl)ethoxy]phenyl]-3-phenoxy- (9CI) (CA INDEX NAME)



RN 395678-56-5 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(4-morpholinyl)ethoxy]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 395678-76-9 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-3-phenoxy- (9CI) (CA INDEX NAME)

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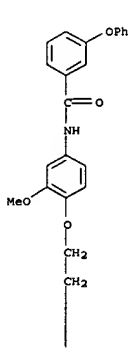

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RN 395678-77-0 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

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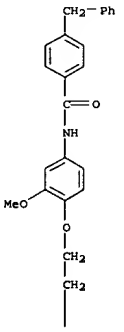

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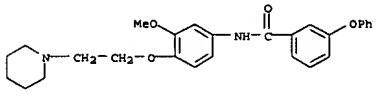
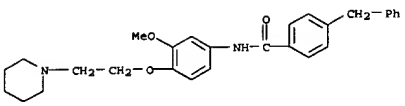
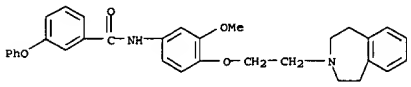
RN 395678-81-6 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1-piperidinyl)ethoxy]phenyl]-3-phenoxy- (9CI) (CA INDEX NAME)



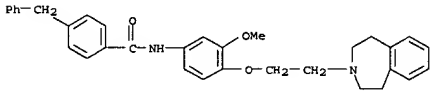
RN 395678-83-8 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1-piperidinyl)ethoxy]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



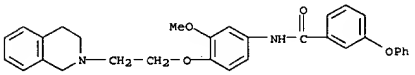
RN 395678-86-1 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)ethoxy]phenyl]-3-phenoxy- (9CI) (CA INDEX NAME)



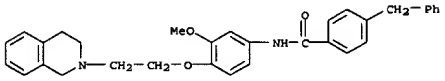
RN 395678-87-2 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)ethoxy]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



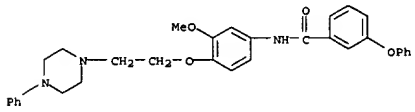
RN 395678-88-3 CAPLUS
CN Benzamide, N-[4-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethoxy]-3-methoxyphenyl]-3-phenoxy- (9CI) (CA INDEX NAME)



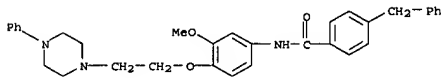
RN 395678-89-4 CAPLUS
CN Benzamide, N-[4-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethoxy]-3-methoxyphenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 395678-90-7 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(4-phenyl-1-piperazinyl)ethoxy]phenyl]-3-phenoxy- (9CI) (CA INDEX NAME)



RN 395678-92-9 CAPLUS
CN Benzamide, N-[3-methoxy-4-[2-(4-phenyl-1-piperazinyl)ethoxy]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 395679-42-2 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-ethyl-N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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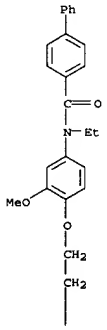

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RN 395679-43-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

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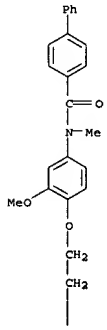

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RN 395679-46-6 CAPLUS
CN Benzamide, 4-cyclohexyl-N-ethyl-N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

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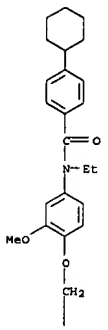

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RN 395679-93-3 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-methoxy-N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

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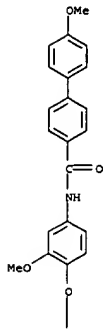

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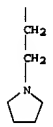

IT 394248-91-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of carboxamide compds. as antagonists of human 11CBY receptor)
RN 394248-91-0 CAPLUS
CN Benzamide, 4-bromo-N-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI)
(CA INDEX NAME)

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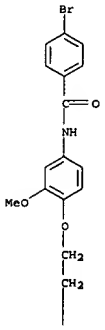

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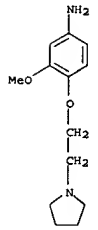
IT 394248-90-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carboxamide compds. as antagonists of human 11CBY receptor)
RN 394248-90-9 CAPLUS
CN Benzenamine, 3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



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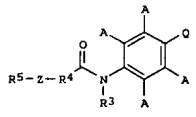
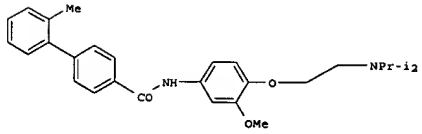


I



II

AB Title compds. [I; A = H, C1-6alkyl optionally substituted by hydroxyl, C1-6alkoxy, C1-6alkenyl, C1-6 acyl, halogeno, OH, CN, CF3; R3 = H, CH3, CH3CH2; R4 = arom. carbocycle, heterocycle; Z = O, S, NH, CH2, single bond, at the 3 or 4 position of R4 relative to the carbonyl group; R5 = arom. carbocycle, heterocycle; Q = XYNR1R2; X = O, S; Y = C2-4 alkylene, C5-6 cycloalkylene; R1, R2 independently = C1-6 alkyl, phenyl-C1-6 alkyl; R1R2 = 5-, 6-, 7-membered ring optionally contg. one or more heteroatom selected from O, S, N; etc.], pharmaceutically acceptable salts, and solvate are prepd. and as antagonists of a human 11CBY receptor. Title compds. and pharmaceutical compn. are useful in the treatment and/or

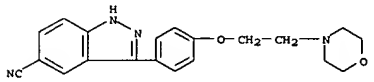
L10 ANSWER 22 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
prophylaxis of one or more of the disorder, such as, major depression, manic depression, anxiety, etc. Thus, the title compd. II was prepd. from
2'-methyl-biphenyl-4-carboxylic acid and 4-(2-diisopropylamino-ethoxy)-3-methoxy-phenylamine in DMF in the presence of 1-(3-dimethylaminopropyl)-3-
Et carbodiimide hydrochloride and 1-hydroxy-7-azabenzotriazole.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107318 CAPLUS
DOCUMENT NUMBER: 136:151163
TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors
INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010137	A2	20020207	WO 2001-US23890	20010730

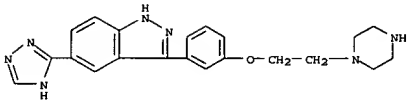
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-221799P P 20000731
IT **395103-65-8P**, 3-[4-[2-(Morpholin-4-yl)ethoxy]phenyl]-1H-indazole-5-carbonitrile **395104-34-4P**, 1-[5-(1H-1,2,4-Triazol-3-yl)-1H-indazol-3-yl]-3-(2-piperazinoethoxy)benzene **395106-70-4P**,
3-[3-(2-Piperidinoethoxy)phenyl]-1-(perhydro-2H-pyran-2-yl)-1H-indazole-5-carbonitrile **395106-71-5P**, 3-[3-(2-Piperidinoethoxy)phenyl]-1-(perhydro-2H-pyran-2-yl)-1H-indazole-5-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indazole derivs. as JNK enzyme inhibitors)
RN 395103-65-8 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

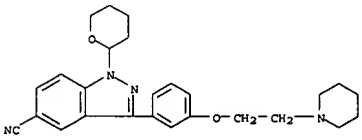


RN 395104-34-4 CAPLUS
CN 1H-Indazole, 3-[3-[2-(1-piperazinyl)ethoxy]phenyl]-5-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

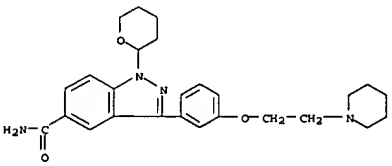
L10 ANSWER 23 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 395106-70-4 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-[3-[2-(1-piperidinyl)ethoxy]phenyl]-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

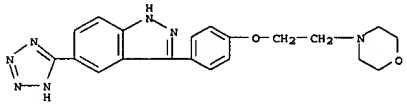


RN 395106-71-5 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-[3-[2-(1-piperidinyl)ethoxy]phenyl]-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

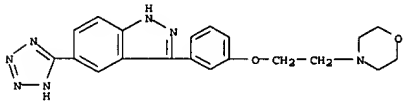


IT **395103-63-6P**, 1-[5-(1H-1,2,3,4-Tetrazol-5-yl)-1H-indazol-3-yl]-4-(2-(morpholin-4-yl)ethoxy)benzene **395103-81-8P**, 1-[5-(1H-1,2,3,4-Tetrazol-5-yl)-1H-indazol-3-yl]-3-(2-(morpholin-4-yl)ethoxy)benzene **395104-21-9P**, 1-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]-3-(2-(morpholin-4-yl)ethoxy)benzene **395104-28-6P**, 1-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]-3-(2-pyrrolidinoethoxy)benzene **395104-30-0P**, 1-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]-3-(2-piperidinoethoxy)benzene **395104-32-2P**, 1-[2-[3-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]phenoxy]ethyl]pyrrolidin-2-one **395104-35-5P**, 1-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]-3-(2-piperazinylethoxy)benzene bis(trifluoroacetate) **395104-37-7P**, 1-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]-3-(3-

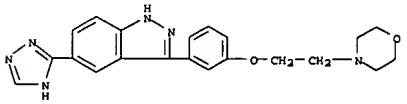
L10 ANSWER 23 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
piperidinopropoxy)benzene **395104-38-8P**, 4-[2-[3-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]phenoxy]ethyl]-1-acetylpiperazine **395104-47-9P**, 1-[5-(1H-1,2,4-Triazol-5-yl)-1H-indazol-3-yl]-3-(2-hexahydroazepinoethoxy)benzene **395106-69-1P**, 3-[3-(2-Piperidinoethoxy)phenyl]-1H-indazole-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indazole derivs. as JNK enzyme inhibitors)
RN 395103-63-6 CAPLUS
CN 1H-Indazole, 3-[4-[2-(4-morpholinyl)ethoxy]phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



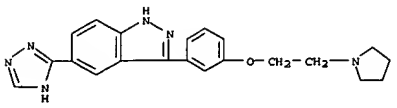
RN 395103-81-8 CAPLUS
CN 1H-Indazole, 3-[3-[2-(4-morpholinyl)ethoxy]phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



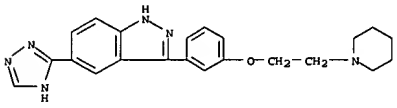
RN 395104-21-9 CAPLUS
CN 1H-Indazole, 3-[3-[2-(4-morpholinyl)ethoxy]phenyl]-5-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)



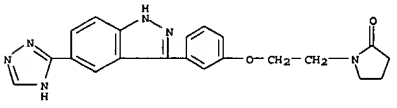
RN 395104-28-6 CAPLUS
CN 1H-Indazole, 3-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]-5-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)



RN 395104-30-0 CAPLUS
CN 1H-Indazole, 3-[3-[2-(1-piperidinyl)ethoxy]phenyl]-5-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)



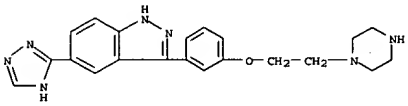
RN 395104-32-2 CAPLUS
CN 2-Pyrrolidinone, 1-[2-[3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 395104-35-5 CAPLUS
CN 1H-Indazole, 3-[3-[2-(1-piperazinyl)ethoxy]phenyl]-5-(1H-1,2,4-triazol-3-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

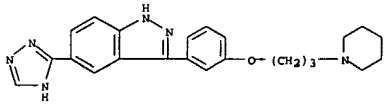
CRN 395104-34-4
CMF C21 H23 N7 O



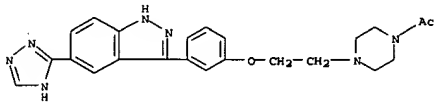
CM 2

CRN 76-05-1
CMF C2 H F3 O2

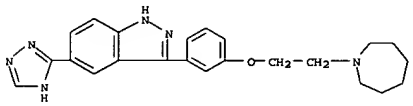
RN 395104-37-7 CAPLUS
CN 1H-Indazole,
3-[3-[3-(1-piperidinyl)propoxy]phenyl]-5-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)



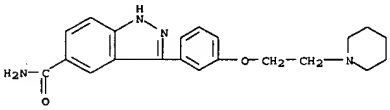
RN 395104-38-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 395104-47-9 CAPLUS
CN 1H-Indazole,
3-[3-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]-5-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)



RN 395106-69-1 CAPLUS
CN 1H-Indazole-5-carboxamide, 3-[3-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.

ACCESSION NUMBER: 2002:107312 CAPLUS
DOCUMENT NUMBER: 136:167389
TITLE: Preparation of pyrrole, indole, thiophene, pyrazole, imidazole, and isothiazole derivatives as inhibitors of transforming growth factor-beta (TGF-.beta.)
INVENTOR(S): Tokunaga, Teruhisa; Hume, William Ewan; Kitoh, Makoto; Nagata, Ryu
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

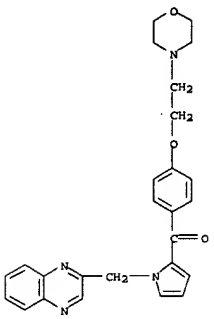
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010131	A1	20020207	WO 2001-JP6495	20010727

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

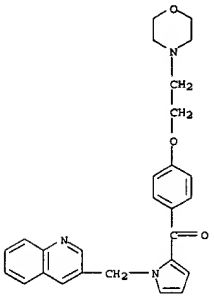
PRIORITY APPLN. INFO.: JP 2000-229423 A 20000728
OTHER SOURCE(S): MARPAT 136:167389

IT **397325-07-4P**, 2-[[2-(4-(2-(Morpholino)ethoxy)benzoyl)pyrrol-1-yl]methyl]quinoxaline **397325-13-2P**, 3-[[2-(4-(2-(Morpholino)ethoxy)benzoyl)pyrrol-1-yl]methyl]quinoline **397326-04-4P**, 2-[3-[4-Methyl-2-(4-(2-(morpholino)ethoxy)benzoyl)-1-pyrrolyl]-1-propen-1-yl]-5-chlorobenzoic acid sodium salt **397326-06-6P**, N-Methyl-2-[3-[4-methyl-2-(4-(2-(morpholino)ethoxy)benzoyl)-1-pyrrolyl]-1-propen-1-yl]-5-chlorobenzamide hydrochloride **397326-49-7P**, 2-[3-[4-Methyl-2-(4-(2-(morpholino)ethoxy)benzoyl)-1-pyrrolyl]-1-propen-1-yl]-5-chloro-1-methoxybenzene
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrole, indole, thiophene, pyrazole, imidazole, and isothiazole derivs. as inhibitors of transforming growth factor-.beta. and fibroid inhibitors for organs or tissues)

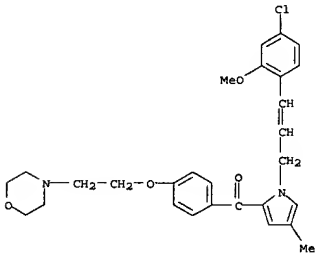
RN 397325-07-4 CAPLUS
CN Methanone, [4-[2-(4-morpholinyl)ethoxy]phenyl][1-(2-quinoxalinylmethyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



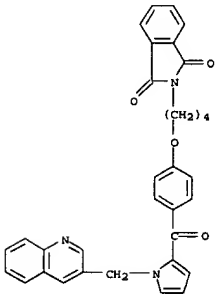
RN 397325-13-2 CAPLUS
CN Methanone, [4-[2-(4-morpholinyl)ethoxy]phenyl][1-(3-quinolinylmethyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)



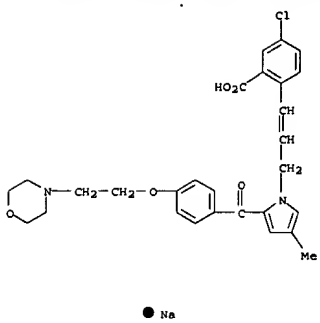
RN 397326-04-4 CAPLUS
CN Benzoic acid, 5-chloro-2-[3-[4-methyl-2-[4-[2-(4-morpholinyl)ethoxy]benzoyl]-1H-pyrrol-1-yl]-1-propenyl]-, sodium salt (9CI) (CA INDEX NAME)



IT **397325-11-0P**, 3-[[2-(4-(4-(Phthalimido)butoxy)benzoyl)pyrrol-1-yl]methyl]quinoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrrole, indole, thiophene, pyrazole, imidazole, and isothiazole derivs. as inhibitors of transforming growth factor-.beta. and fibroid inhibitors for organs or tissues)
RN 397325-11-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

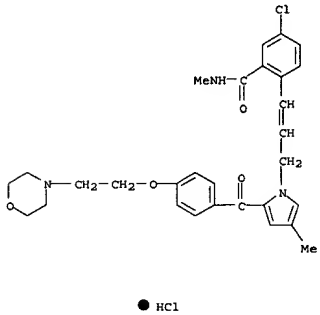


GI



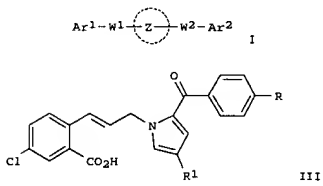
● Na

RN 397326-06-6 CAPLUS
CN Benzamide, 5-chloro-N-methyl-2-[3-[4-methyl-2-[4-[2-(4-morpholinyl)ethoxy]benzoyl]-1H-pyrrol-1-yl]-1-propenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 397326-49-7 CAPLUS
CN Methanone, [1-[3-(4-chloro-2-methoxyphenyl)-2-propenyl]-4-methyl-1H-pyrrol-2-yl][4-[2-(4-morpholinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



III

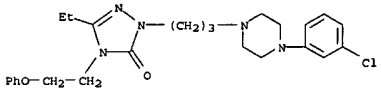
AB The title compds. represented by the following formula (I) or pharmaceutically acceptable salts of these [wherein ring Z represents an optionally substituted pyrrole, indole, thiophene, pyrazole, benzene, imidazole, or isothiazole; W2 represents CO, SO2, CONR (R = H, alkyl), optionally substituted C1-4 alkylene or C2-4 alkenylene; Ar2 represents optionally substituted aryl or heteroaryl; and W1 and Ar1 mean the following: (1) W1 represents optionally substituted C1-4 alkylene or C2-4 alkenylene, Ar1 represents bicyclic heteroaryl having one to four N atoms or (2) W1 represents optionally substituted C2-5 alkylene, C2-5 alkenylene, C2-5 alkynylene, or -Y-W3 (wherein Y = O or cycloalkanediyl; W3 = optionally substituted C1-5 alkylene, C2-5 alkenylene, or C2-5 alkynylene), Ar represents optionally substituted aryl or monocyclic heteroaryl substituted at ortho or meta position by CO2H, alkoxycarbonyl, optionally alkyl-substituted carbamoyl, cyclic aminocarbonyl, alkylsulfonylcarbonyl, arylsulfonylcarbonyl, alkylsulfonyl, etc.] or prodrugs or pharmacol. acceptable salts thereof are prepd. These compds. are useful as fibroid inhibitors for organs or tissues. Thus, bromination of 3-(4-chloro-2-methoxycarbonylphenyl)-2-propenol (prepn. given) by N-bromosuccinimide and PPh3 in CH2Cl2 at 0.degree. for 10 min gave 3-(4-chloro-2-methoxycarbonylphenyl)-2-propenyl bromide (II). A THF soln. of 2-(4-methylbenzoyl)pyrrole was added dropwise to a suspension of NaH in THF and the resulting soln. was slowly added dropwise to a THF soln. of II at 55.degree. and stirred for 2 h to give 2-[3-[2-(4-methylbenzoyl)-1-pyrrolyl]-1-propen-1-yl]-5-chlorobenzoic acid Me ester which was sapond. with aq. NaOH in methanol and acidified with aq. HCl to give III (R = Me, R1 = H). In a kidney fibroid model using a rat Thy-1 nephritis model, administration of III.Na (R = Me, R1 = H) at 15 mg/kg and Thy-1 (one of surface antigens of thymocyte) to rats lowered the level of hydroxyproline (fibroid index) in kidney compared to the control group administered only with Thy-1. III.Na (R = 2-morpholinoethoxy, R1 = Me) at 3 .mu.M in vitro inhibited the TGF-.beta.-induced prodn. of proteoglycan in MRK-49F rat fibroblast cells by 99%.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 25 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:107097 CAPLUS
DOCUMENT NUMBER: 136:145266
TITLE: Anticonvulsant derivatives useful for the treatment of depression
INVENTOR(S): Plata-Salaman, Carlos; Bacaltchuk, Josue; Prado-Lima, Pedro A. S.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009694	A1	20020207	WO 2001-US23786	20010727

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-222489P P 20000802
OTHER SOURCE(S): MARPAT 136:145266
IT **83366-66-9**, Nefazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticonvulsant deriva. useful for treatment of depression)
RN 83366-66-9 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



AB Anticonvulsant derivs. of formula (I) for the treating depression as monotherapy or combination therapy are disclosed. Wherein X is CH2 or oxygen; R1 is hydrogen or alkyl; and R2, R3, R4 and R5 are independently hydrogen or lower alkyl and, when X is CH2, R4 and R5 may be alkene groups
joined to form a benzene ring and, when X is oxygen, R2 and R3 and/or R4 and R5 together may be a methylenedioxy group of the following formula (II): wherein R6 and R7 are the same or different and are hydrogen, lower alkyl or are alkyl and are joined to form a cyclopentyl or cyclohexyl ring.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 25 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

L10 ANSWER 26 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:104615 CAPLUS
DOCUMENT NUMBER: 136:145283
TITLE: Use of an estrogen agonist/antagonist for treating cataracts
INVENTOR(S): Rosati, Robert Louis
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1177787	A2	20020206	EP 2001-306066	20010713

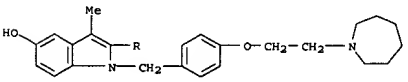
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

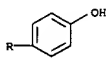
US 2002016340	A1	20020207	US 2001-915020	20010725

PRIORITY APPLN. INFO.: US 2000-221441P P 20000728
OTHER SOURCE(S): MARPAT 136:145283
IT **198481-33-3**, TSE 424
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TSE 424; estrogen agonist/antagonist for treating cataracts)
RN 198481-33-3 CAPLUS
CN 1H-Indol-5-ol, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 198481-32-2
CMF C30 H34 N2 O3



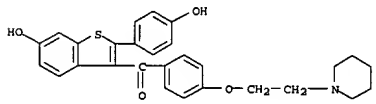


CM 2

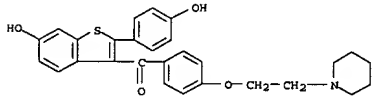
CRN 64-19-7
CMF C2 H4 O2

L10 ANSWER 26 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT **84449-90-1**, Raloxifene **84449-90-1D**, Raloxifene, derivs. and isomers **116057-75-1**, Idoxifene **116057-75-1D**, Idoxifene, derivs. and isomers **151533-34-5** **151533-34-5D**, derivs. and isomers **166975-07-1** **166975-07-1D**, derivs. and isomers **175737-59-4** **175737-59-4D**, derivs. and isomers **182167-02-8**, EM-652 **182167-02-8D**, EM-652, derivs. and isomers **182167-03-9**, EM-800 **182167-03-9D**, EM-800, derivs. and isomers **182167-04-0** **182167-04-0D**, derivs. and isomers **198481-33-3D**, TSE 424, derivs. and isomers
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(estrogen agonist/antagonist for treating cataracts)
RN 84449-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



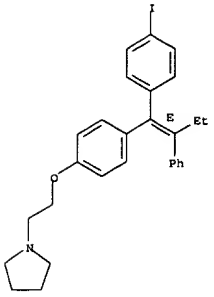
RN 84449-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 116057-75-1 CAPLUS
CN Pyrrolidine, 1-[2-[4-[(1E)-1-(4-iodophenyl)-2-phenyl-1-butenyl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

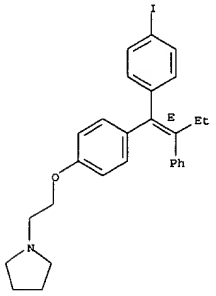
Double bond geometry as shown.

Kamal Saeed

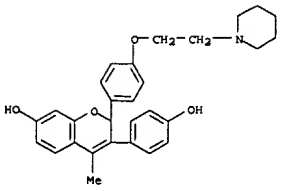


RN 116057-75-1 CAPLUS
CN Pyrrolidine, 1-[2-[4-[(1E)-1-(4-iodophenyl)-2-phenyl-1-butenyl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

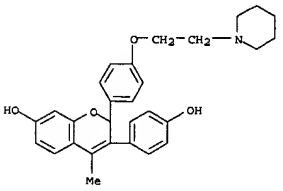
Double bond geometry as shown.



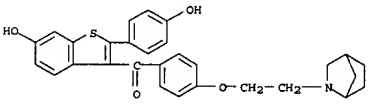
RN 151533-34-5 CAPLUS
CN 2H-1-Benzopyran-7-ol, 3-(4-hydroxyphenyl)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



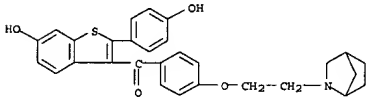
RN 151533-34-5 CAPLUS
CN 2H-1-Benzopyran-7-ol, 3-(4-hydroxyphenyl)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



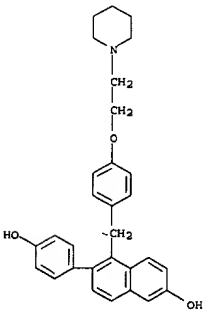
RN 166975-07-1 CAPLUS
CN Methanone, [4-[2-(2-azabicyclo[2.2.1]hept-2-yl)ethoxy]phenyl][6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl]- (9CI) (CA INDEX NAME)



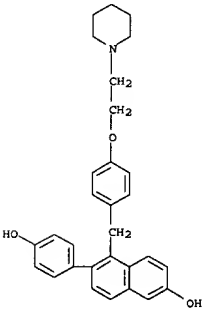
RN 166975-07-1 CAPLUS
CN Methanone, [4-[2-(2-azabicyclo[2.2.1]hept-2-yl)ethoxy]phenyl][6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl]- (9CI) (CA INDEX NAME)



RN 175737-59-4 CAPLUS
CN 2-Naphthalenol, 6-(4-hydroxyphenyl)-5-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

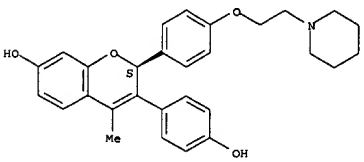


RN 175737-59-4 CAPLUS
CN 2-Naphthalenol, 6-(4-hydroxyphenyl)-5-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



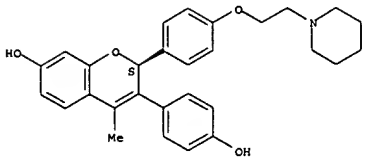
RN 182167-02-8 CAPLUS
CN 2H-1-Benzopyran-7-ol, 3-(4-hydroxyphenyl)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



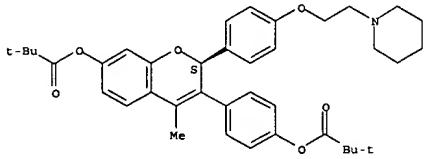
RN 182167-02-8 CAPLUS
CN 2H-1-Benzopyran-7-ol, 3-(4-hydroxyphenyl)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



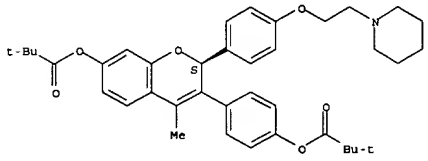
RN 182167-03-9 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-[(2S)-7-(2,2-dimethyl-1-oxopropoxy)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-2H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 182167-03-9 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-[(2S)-7-(2,2-dimethyl-1-oxopropoxy)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-2H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)

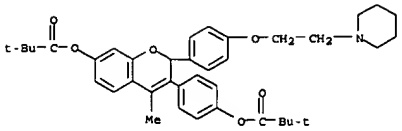
Absolute stereochemistry. Rotation (+).



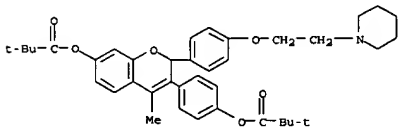
RN 182167-04-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-(7-(2,2-dimethyl-1-oxopropoxy)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-2H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)

CRN 64-19-7
CMF C2 H4 O2

AB The invention provides methods, pharmaceutical compns., and kits useful in treating cataracts. The compns. are comprised of an estrogen agonist/antagonist and a pharmaceutically acceptable vehicle, carrier, or diluent. The compns. and methods of treatment are effective while substantially reducing the concomitant liability of adverse effects assocd. with estrogen administration.



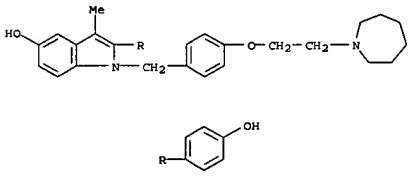
RN 182167-04-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-[7-(2,2-dimethyl-1-oxopropoxy)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-2H-1-benzopyran-3-yl]phenyl ester (9CI) (CA INDEX NAME)



RN 198481-33-3 CAPLUS
CN 1H-Indol-5-ol, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 198481-32-2
CMF C30 H34 N2 O3



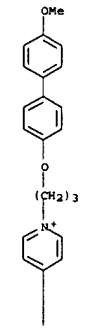
CM 2

ACCESSION NUMBER: 2002:98530 CAPLUS
DOCUMENT NUMBER: 136:158912
TITLE: Aliphatic group-substituted aminopyridinium derivative for controlling of liquid crystal tilt angle
INVENTOR(S): Nishikawa, Naoyuki; Ogawa, Masataka; Kawada, Tadashi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002037777	A2	20020206	JP 2000-221115	20000721

OTHER SOURCE(S): MARPAT 136:158912
IT **395071-17-7P**
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(aliph. group-substituted aminopyridinium deriv. for controlling of liq. crystal tilt angle)
RN 395071-17-7 CAPLUS
CN Pyridinium, 1-[3-[(4'-methoxy[1,1'-biphenyl]-4-yl)oxy]propyl]-4-(1-pyrrolidinyl)-, iodide (9CI) (CA INDEX NAME)

PAGE 1-A

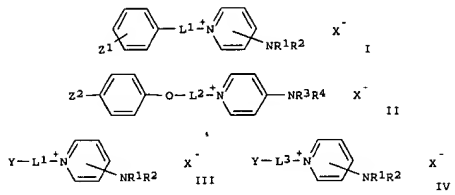


L10 ANSWER 27 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 2-A

● I⁻

GI



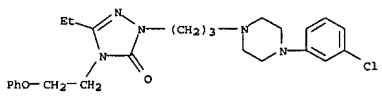
AB The aminopyridinium deriv. is that represented as I [L1 = C1-20 hydrocarbylene; R1, R2 = C1-8 aliph. group; R1-R2 may form N-contg. heterocycle; X = anion; Z1 = Ph substituted with carboxyl, (cyano-, halogen-, nitro-, C1-10 alkyl-, or C1-10 alkoxy-substituted) Ph, C1-10 alkyl, C1-10 alkoxy, C2-11 alkoxycarbonyl, C7-13 aryloxycarbonyl, C4-13 alkoxycarbonylvinyl, C9-15 aryloxycarbonylvinyl], II [L2 = C1-20 alkylene,
C1-20 hydrocarbylene involving alkylene, O, S, CO, SO2, NR, alkenylene, alkynylene, arylene; R = H, C1-6 alkyl; R3, R4 = C1-8 aliph. group; R3-R4 may form N-contg. heterocycle; Z2 = carboxyl, (p-cyano-, p-halogeno-, p-nitro-, p-C1-10 alkyl-, or p-C1-10 alkoxy-substituted) Ph, C1-10 alkyl, C1-10 alkoxy, C2-11 alkoxycarbonyl, C7-13 aryloxycarbonyl, C4-13 alkoxycarbonylvinyl, C9-15 aryloxycarbonylvinyl], III (Y = C4-20 F-substituted alkyl, C6-30 alkyl having .gtoreq.3-branched C, steroid-including aliph. group; L1, R1, and R2 are the same in I), or IV (L3 = L2; R3, R4 are the same in II; Y is the same in III). Tilt angles of liq. crystals, e.g., discotic liq. crystal, can be controlled by the derivs.

L10 ANSWER 28 OF 5482 CAPLUS COPYRIGHT 2002 ACS

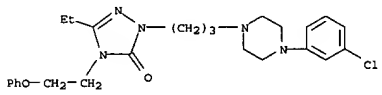
ACCESSION NUMBER: 2002:90620 CAPLUS
DOCUMENT NUMBER: 136:112659
TITLE: Methods of inhibiting platelet activation with selective serotonin reuptake inhibitors and treatment of cardiovascular disease
INVENTOR(S): Serebruany, Victor L.; Gurbel, Paul A.; O'Connor, Christopher M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. 6,245,782.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002013343	A1	20020131	US 2001-804689	20010312
US 6245782	B1	20010612	US 1999-312987	19990517

PRIORITY APPLN. INFO.: US 1999-312987 A2 19990517
IT **83366-66-9**, Nefazodone **83366-66-9D**, Nefazodone, metabolites
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of platelet activation with selective serotonin reuptake inhibitors and treatment of cardiovascular disease)
RN 83366-66-9 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



RN 83366-66-9 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



AB The present invention pertains to methods for reducing the platelet activation state in an individual comprising administering a selective serotonin reuptake inhibitor (SSRI). The platelet activation state is reduced upon administering a SSRI, as measured by one or more platelet activation markers. The invention also relates to methods for treating or

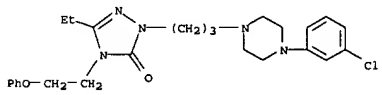
L10 ANSWER 28 OF 5482 CAPLUS COPYRIGHT 2002 ACS (Continued)
preventing an individual at risk for a vascular event, disease or disorder
by administering a SSRI.

L10 ANSWER 29 OF 5482 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:90616 CAPLUS
DOCUMENT NUMBER: 136:129080
TITLE: Antidepressant effect of norepinephrine uptake 2 inhibitors, and combined medications including them
INVENTOR(S): Schildkraut, Joseph J.; Mooney, John J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002013312	A1	20020131	US 2001-811235	20010316

PRIORITY APPLN. INFO.: US 2000-189828P P 20000316
IT **83366-66-9**, Nefazodone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(norepinephrine uptake 2 inhibitors, and combined medications including them, for antidepressants)
RN 83366-66-9 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



AB Norepinephrine uptake 2 inhibitors (or their precursors) are administered to enhance the effect of norepinephrine reuptake inhibitors and other antidepressants. The uptake 2 inhibitor may be combined in a single medication with a norepinephrine reuptake inhibitor, such as imipramine, desipramine, or reboxetine, in order to inhibit both uptake mechanisms. The norepinephrine uptake 2 inhibitors may also be combined with MAO inhibitors or with selective serotonin reuptake inhibitors. Alternatively, the norepinephrine uptake 2 inhibitors may be useful antidepressants in their own right, without the need for co-administration of other antidepressants. One class of norepinephrine uptake 2 inhibitors is normetanephrine (the O-methylated metabolite of norepinephrine) and normetanephrine precursors [such as 3-(4-hydroxy-3-methoxyphenyl)serine (4H-3MePS), particularly L-threo-3-(4-H-3MePS)]that are transported to the brain where they are converted into normethanephrine, thereby enhancing the effect of other antidepressants. For example, the invention enhances the antidepressant effect of norepinephrine reuptake inhibitors.

L10 ANSWER 30 OF 5482 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:89837 CAPLUS
DOCUMENT NUMBER: 136:129066
TITLE: Method for enhancing bisphosphonate therapy bone mineral density gain with raloxifene
INVENTOR(S): Muchmore, Douglas Boyer; Lu, Yili
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

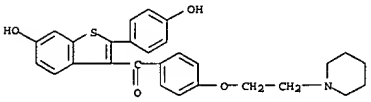
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007733	A2	20020131	WO 2001-US16515	20010705

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-219286P P 20000719
US 2000-233737P P 20000919

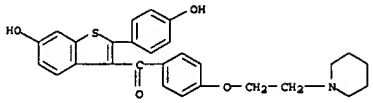
IT **82640-04-8**, Raloxifene hydrochloride **84449-90-1**, Raloxifene **84449-90-1D**, Raloxifene, salts or solvates
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for enhancing bisphosphonate therapy bone mineral d. gain with raloxifene)

RN 82640-04-8 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

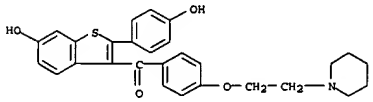


● HCl

RN 84449-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 84449-90-1 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



AB This invention relates to a method for enhancing bone mineral d. gain acquired through previous bisphosphonate therapy comprising administering to a human in need thereof a bone-enhancing amt. of raloxifene or a pharmaceutically acceptable salt or solvate thereof.

Kamal Saeed

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LOGOFF? (Y)/N/HOLD:y
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   -18.59     -18.59

STN INTERNATIONAL LOGOFF AT 09:34:58 ON 19 MAR 2002


Connection closed by remote host
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Kamal Saeed

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Connecting via Winsock to STN


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LOGINID:ssspta1626kas

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 12:54:01 ON 11 JUL 2002
FILE 'REGISTRY' ENTERED AT 12:54:01 ON 11 JUL 2002
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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
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FULL ESTIMATED COST                              426.54     426.75

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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
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FULL ESTIMATED COST                              426.92     427.13

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STRUCTURE FILE UPDATES:   10 JUL 2002  HIGHEST RN 438186-75-5
DICTIONARY FILE UPDATES:  10 JUL 2002  HIGHEST RN 438186-75-5

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L13  QUE L12

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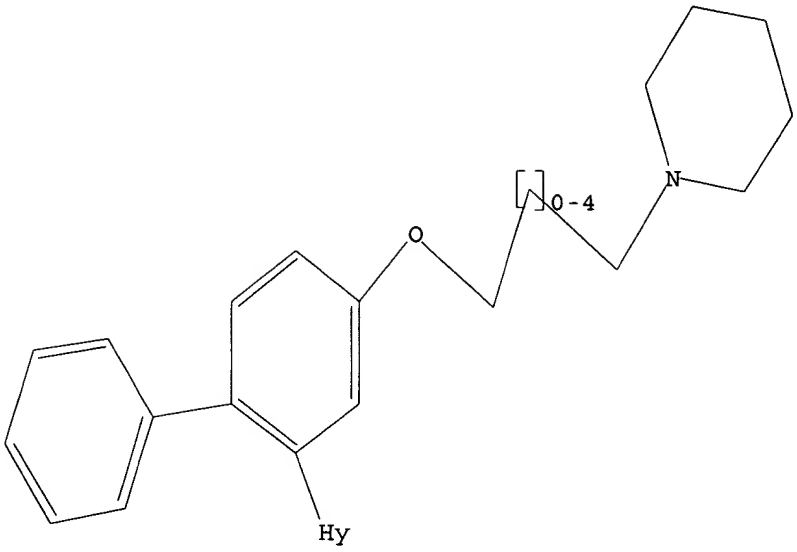

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SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
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PROJECTED ANSWERS: 0 TO 0

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ENTER SCREEN EXPRESSION OR (END):end

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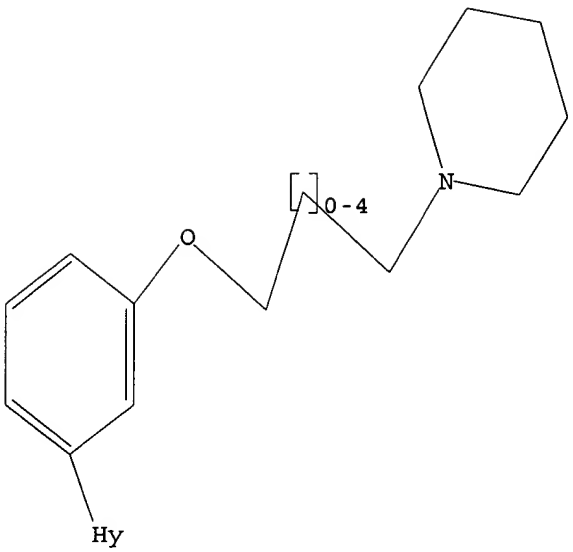

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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 59460 TO 66180
PROJECTED ANSWERS: 2 TO 275

L17 2 SEA SSS SAM L15

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FILE 'CAPLUS' ENTERED AT 12:56:27 ON 11 JUL 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 11 Jul 2002 VOL 137 ISS 2
FILE LAST UPDATED: 10 Jul 2002 (20020710/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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L18 1 L17

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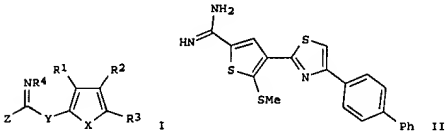
L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:687446 CAPLUS
DOCUMENT NUMBER: 135:242131
TITLE: Preparation of thiophenecarboxamidines and analogs as protease inhibitors
INVENTOR(S): Illig, Carl R.; Subasinghe, Nalin L.; Hoffman, James B.; Wilson, Kenneth J.; Rudolph, M. Jonathan; Marugan, Juan Jose
PATENT ASSIGNEE(S): 3-Dimensional Pharmaceuticals, Inc., USA
SOURCE: U.S., 108 pp., Cont.-in-part of U. S. Ser. No. 247,062.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6291514	B1	20010918	US 1999-372748	19990811
US 2001031781	A1	20011018	US 2001-828783	20010410
US 6403633	B2	20020611		

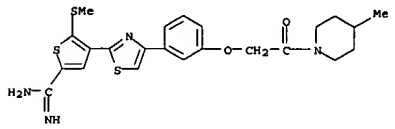
PRIORITY APPLN. INFO.: US 1998-74110P P 19980209
US 1999-247062 A2 19990209
US 1999-372748 A1 19990811
OTHER SOURCE(S): MARPAT 135:242131
GI



I II

AB The title compds. [I; X = S; Y = a covalent bond, NH; Z = NR5R6; R1 = H, NH2, OH, halo; R2 = alkylsulfonylamino, aralkylsulfonylamino, arylsulfonylamino, etc.; R3 = H, alkylthio, alkyl, etc.; R4-R6 = H, alkyl, aryl, etc.] which are potent inhibitors of proteases, esp. trypsin-like serine proteases, such as chymotrypsin, trypsin, plasmin and urokinase, were prepd. and formulated. Thus, cyclocondensation of Me 4-(aminothioxomethyl)-5-methylthiothiophene-2-carboxylate with 4'-phenyl-2-bromoacetophenone followed by treatment of the resulting intermediate with with NH4Cl/Me3Al afforded the title compd. II.HCl. Data for biol. activity of I were given.
IT 237381-99-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiophenecarboxamidines and analogs as protease inhibitors)
RN 237381-99-6 CAPLUS
CN Piperidine, 1-[[3-[2-[5-(aminoiminomethyl)-2-(methylthio)-3-thienyl]-4-thiazolyl]phenoxy]acetyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS
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FULL ESTIMATED COST                                     4.79     433.06

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CA SUBSCRIBER PRICE                                    -0.62      -0.62

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